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Welcome to STN International! Enter x:x

LOGINID:SSPTAALF1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

***** Welcome to STN International *****

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 3 OCT 07 EPFULL enhanced with full implementation of EPC2000
NEWS 4 OCT 07 Multiple databases enhanced for more flexible patent
number searching
NEWS 5 OCT 22 Current-awareness alert (SDI) setup and editing
enhanced
NEWS 6 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
Applications
NEWS 7 OCT 24 CHEMLIST enhanced with intermediate list of
pre-registered REACH substances
NEWS 8 NOV 21 CAS patent coverage to include exemplified prophetic
substances identified in English-, French-, German-,
and Japanese-language basic patents from 2004-present
NEWS 9 NOV 26 MARPAT enhanced with FSORT command
NEWS 10 NOV 26 MEDLINE year-end processing temporarily halts
availability of new fully-indexed citations
NEWS 11 NOV 26 CHEMSAFE now available on STN Easy
NEWS 12 NOV 26 Two new SET commands increase convenience of STN
searching
NEWS 13 DEC 01 ChemPort single article sales feature unavailable
NEWS 14 DEC 12 GBFULL now offers single source for full-text
coverage of complete UK patent families
NEWS 15 DEC 17 Fifty-one pharmaceutical ingredients added to PS
NEWS 16 JAN 06 The retention policy for unread STNmail messages
will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 17 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
Classification Data

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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***** STN Columbus *****

FILE 'HOME' ENTERED AT 13:59:29 ON 12 JAN 2009

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.22

0.22

FILE 'REGISTRY' ENTERED AT 13:59:42 ON 12 JAN 2009

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DICTIONARY FILE UPDATES: 11 JAN 2009 HIGHEST RN 1093181-04-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

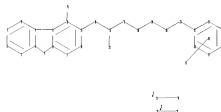
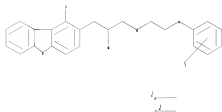
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10568732.str



```

chain nodes :
14 15 16 17 18 19 20 21 23 30 31 32 33 37
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 22 24 25 26 27 28
chain bonds :
10-14 11-15 15-16 16-17 16-23 17-18 18-19 19-20 20-21 21-22 30-31 32-33
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-9 6-13 7-8 7-12 8-9 8-13 9-10 10-11 11-12
22-24 22-28 24-25 25-26 26-27 27-28
exact/norm bonds :
5-9 6-13 8-13 10-14 16-23 17-18 18-19 20-21 21-22 30-31 32-33
exact bonds :
11-15 15-16 16-17 19-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 22-24 22-28
24-25 25-26 26-27 27-28

```

G1:O,S

G2:[*1],[*2]

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 22:Atom 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom
28:Atom 30:CLASS 31:CLASS 32:CLASS 33:CLASS 37:CLASS 38:Atom

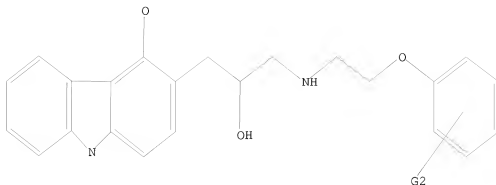
```

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



1

s 2

G1 O,S

G2 [01],[02]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 14:00:15 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 447 TO ITERATE

100.0% PROCESSED 447 ITERATIONS

0 ANSWERS

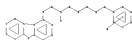
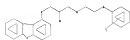
SEARCH TIME: 00.00.01

L2

0 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\10568732A.str



chain nodes :

```

14 15 16 17 18 19 20 21 23 30
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 22 24 25 26 27 28
chain bonds :
10-14 14-15 15-16 16-17 16-23 17-18 18-19 19-20 20-21 21-22
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-9 6-13 7-8 7-12 8-9 8-13 9-10 10-11 11-12
22-24 22-28 24-25 25-26 26-27 27-28
exact/norm bonds :
5-9 6-13 8-13 10-14 14-15 16-23 17-18 18-19 20-21 21-22
exact bonds :
15-16 16-17 19-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 22-24 22-28
24-25 25-26 26-27 27-28

```

G1:O,S

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 22:Atom 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom
28:Atom 30:CLASS 31:Atom

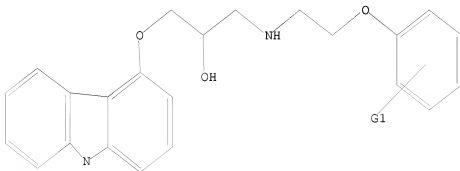
```

L3 STRUCTURE UPLOADED

=> d

L3 HAS NO ANSWERS

L3 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l3 sss full

FULL SEARCH INITIATED 14:04:10 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 478 TO ITERATE

100.0% PROCESSED 478 ITERATIONS

SEARCH TIME: 00.00.01

127 ANSWERS

L4

127 SEA SSS FUL L3

=> file capl		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	374.64	374.86

FILE 'CAPLUS' ENTERED AT 14:04:14 ON 12 JAN 2009
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FILE COVERS 1907 - 12 Jan 2009 VOL 150 ISS 3
 FILE LAST UPDATED: 11 Jan 2009 (20090111/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

```
=> s 14
L5      1959 L4

=> s 15 AND ay<2005
      5120813 AY<2005
L6      265 L5 AND AY<2005

=> s 16 AND (carvedilol OR "2-Propanol,
1-(9H-carbazol-4-yloxy)-3-((2-(2-methoxyphenoxy)ethyl)amino)-")
      2069 CARVEDILOL
      1 CARVEDIOLLS
      2069 CARVEDILOL
      (CARVEDILOL OR CARVEDIOLLS)
89899426 "2"
86151 "PROPANOL"
1496 "PROPANOLS"
86734 "PROPANOL"
      ("PROPANOL" OR "PROPANOLS")
9962074 "1"
13508 "9H"
1489 "CARBAZOL"
5 "CARBAZOLS"
1493 "CARBAZOL"
      ("CARBAZOL" OR "CARBAZOLS")
6053207 "4"
4599 "YLOXY"
7484294 "3"
9899426 "2"
9899426 "2"
```

```

3991 "METHOXYPHENOXY"
514351 "ETHYL"
47 "ETHYLS"
514379 "ETHYL"
      ("ETHYL" OR "ETHYLS")
699564 "ET"
8762 "ETS"
706710 "ET"
      ("ET" OR "ETS")
1070933 "ETHYL"
      ("ETHYL" OR "ET")
1201828 "AMINO"
50 "AMINOS"
1201849 "AMINO"
      ("AMINO" OR "AMINOS")
6 "2-PROPANOL, 1-(9H-CARBAZOL-4-YLOXY)-3-((2-(2-METHOXYPHENOXY)ETH
YL)AMINO)-"
      ("2" (W) "PROPANOL" (W) "1" (W) "9H" (W) "CARBAZOL" (W) "4" (W) "YLOXY" (W)
      "3" (W) "2" (W) "2" (W) "METHOXYPHENOXY" (W) "ETHYL" (W) "AMINO")
L7      245 L6 AND (CARVEDILOL OR "2-PROPANOL, 1-(9H-CARBAZOL-4-YLOXY)-3-((2
      -(2-METHOXYPHENOXY)ETHYL)AMINO)-")

```

```

=> file reg
COST IN U.S. DOLLARS                               SINCE FILE      TOTAL
                                                    ENTRY      SESSION
FULL ESTIMATED COST                               50.60      425.46

```

FILE 'REGISTRY' ENTERED AT 14:24:38 ON 12 JAN 2009
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```

STRUCTURE FILE UPDATES:  11 JAN 2009  HIGHEST RN 1093181-04-4
DICTIONARY FILE UPDATES: 11 JAN 2009  HIGHEST RN 1093181-04-4

```

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 predicted properties as well as tags indicating availability of
 experimental property data in the original document. For information
 on property searching in REGISTRY, refer to:

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```

=> s tarur/au
NUMERIC VALUE NOT VALID 'TARUR'
L8      0 TARUR/AU

```

```

=> e tarur/at
'TARUR' IS NOT A VALID NUMERIC VALUE
Only valid numeric terms can be EXPANDED in numeric fields. Valid
numeric terms are zero and any term with an absolute value between 1
E-78 and 1 E74. Non-numeric characters are not permitted in the
EXPAND command for numeric fields. To see a list of numeric and text

```

fields in the current file, enter "HELP SFIELDS" at an arrow prompt (=>).

=> e tarur/au

'TARUR' IS NOT A VALID NUMERIC VALUE

Only valid numeric terms can be EXPANDED in numeric fields. Valid numeric terms are zero and any term with an absolute value between 1 E-78 and 1 E74. Non-numeric characters are not permitted in the EXPAND command for numeric fields. To see a list of numeric and text fields in the current file, enter "HELP SFIELDS" at an arrow prompt (=>).

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.83	431.29

FILE 'REGISTRY' ENTERED AT 14:25:22 ON 12 JAN 2009
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DICTIONARY FILE UPDATES: 11 JAN 2009 HIGHEST RN 1093181-04-4

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=> e tarur/au

'TARUR' IS NOT A VALID NUMERIC VALUE

Only valid numeric terms can be EXPANDED in numeric fields. Valid numeric terms are zero and any term with an absolute value between 1 E-78 and 1 E74. Non-numeric characters are not permitted in the EXPAND command for numeric fields. To see a list of numeric and text fields in the current file, enter "HELP SFIELDS" at an arrow prompt (=>).

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.48	431.77

FILE 'REGISTRY' ENTERED AT 14:25:32 ON 12 JAN 2009
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DICTIONARY FILE UPDATES: 11 JAN 2009 HIGHEST RN 1093181-04-4

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=> e tarur v/au

'TARUR V' IS NOT A VALID NUMERIC VALUE

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numeric terms are zero and any term with an absolute value between 1
E-78 and 1 E74. Non-numeric characters are not permitted in the
EXPAND command for numeric fields. To see a list of numeric and text
fields in the current file, enter "HELP SFIELDS" at an arrow
prompt (=>).

=> file capl

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.96	432.73

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 14:26:29 ON 12 JAN 2009

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FILE COVERS 1907 - 12 Jan 2009 VOL 150 ISS 3

FILE LAST UPDATED: 11 Jan 2009 (20090111/ED)

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reclassification data for the third quarter of 2008.

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They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> e tarur v/au

E1 1 TARUOVSKI I J/AU

```

E2      5      TARUR RADHAKRISHNAN VENKATASUBRAMANIAN/AU
E3      0 -->  TARUR V/AU
E4      1      TARUR V R/AU
E5      3      TARUR VENKATASUBRAMANIAN R/AU
E6      1      TARUR VENKATASUBRAMANIAN RADHA/AU
E7      1      TARUR VENKATASUBRAMANIAN RADHAKRISHNA/AU
E8      22     TARUR VENKATASUBRAMANIAN RADHAKRISHNAN/AU
E9      2      TARUS ANCA/AU
E10     2      TARUS B/AU
E11     10     TARUS BOGDAN/AU
E12     16     TARUS J/AU

```

=> s e4-e8

```

      1 "TARUR V R"/AU
      3 "TARUR VENKATASUBRAMANIAN R"/AU
      1 "TARUR VENKATASUBRAMANIAN RADHA"/AU
      1 "TARUR VENKATASUBRAMANIAN RADHAKRISHNA"/AU
      22 "TARUR VENKATASUBRAMANIAN RADHAKRISHNAN"/AU
L9     28 ("TARUR V R"/AU OR "TARUR VENKATASUBRAMANIAN R"/AU OR "TARUR
      VENKATASUBRAMANIAN RADHA"/AU OR "TARUR VENKATASUBRAMANIAN RADHAK
      RISHNA"/AU OR "TARUR VENKATASUBRAMANIAN RADHAKRISHNAN"/AU)

```

=> e sathe d/au

```

E1      17     SATHE CHIRAG/AU
E2      12     SATHE CONNY/AU
E3      0 -->  SATHE D/AU
E4      2      SATHE D B/AU
E5      1      SATHE D G/AU
E6      6      SATHE D J/AU
E7      1      SATHE D K/AU
E8      2      SATHE DHANAJAY GOVIND/AU
E9      1      SATHE DHANANANJAY GOVIND/AU
E10     2      SATHE DHANANJAY G/AU
E11     27     SATHE DHANANJAY GOVIND/AU
E12     1      SATHE E S/AU

```

=> s e5 OR e8-e11

```

      1 "SATHE D G"/AU
      2 "SATHE DHANAJAY GOVIND"/AU
      1 "SATHE DHANANANJAY GOVIND"/AU
      2 "SATHE DHANANJAY G"/AU
      27 "SATHE DHANANJAY GOVIND"/AU
L10     33 "SATHE D G"/AU OR ("SATHE DHANAJAY GOVIND"/AU OR "SATHE DHANANAN
      JAY GOVIND"/AU OR "SATHE DHANANJAY G"/AU OR "SATHE DHANANJAY
      GOVIND"/AU)

```

=> e kulkarni s/au

```

E1      1      KULKARNI RUTA N/AU
E2      2      KULKARNI RUTURAJ VIJAY/AU
E3      78 -->  KULKARNI S/AU
E4      31     KULKARNI S A/AU
E5      157    KULKARNI S B/AU
E6      7      KULKARNI S C/AU
E7      75     KULKARNI S D/AU
E8      1      KULKARNI S E/AU
E9      1      KULKARNI S E MISS/AU
E10     260    KULKARNI S G/AU
E11     125    KULKARNI S J/AU
E12     475    KULKARNI S K/AU

```

=> e

```

E13     30     KULKARNI S M/AU

```

E14	68	KULKARNI S N/AU
E15	23	KULKARNI S P/AU
E16	196	KULKARNI S R/AU
E17	81	KULKARNI S S/AU
E18	1	KULKARNI S T/AU
E19	7	KULKARNI S U/AU
E20	61	KULKARNI S V/AU
E21	8	KULKARNI S Y/AU
E22	7	KULKARNI SACHIN/AU
E23	7	KULKARNI SACHIN S/AU
E24	1	KULKARNI SADANAND/AU
=> e		
E25	2	KULKARNI SADASHIV S/AU
E26	1	KULKARNI SADGURU MANMOHAN/AU
E27	3	KULKARNI SADGURU MANMOHAN/AU
E28	2	KULKARNI SADHANA K/AU
E29	1	KULKARNI SADHANA KAVITA/AU
E30	10	KULKARNI SAMAR/AU
E31	8	KULKARNI SAMARTH/AU
E32	8	KULKARNI SAMEER/AU
E33	1	KULKARNI SAMEER A/AU
E34	1	KULKARNI SAMIR/AU
E35	2	KULKARNI SAMIR R/AU
E36	6	KULKARNI SAMIR VASUDEO/AU
=> e		
E37	18	KULKARNI SANDEEP/AU
E38	5	KULKARNI SANDHYA/AU
E39	1	KULKARNI SANDHYA B/AU
E40	2	KULKARNI SANDHYA SHIVRAM/AU
E41	2	KULKARNI SANGEETA/AU
E42	5	KULKARNI SANGEETA B/AU
E43	1	KULKARNI SANGEETA V/AU
E44	10	KULKARNI SANJAY/AU
E45	1	KULKARNI SANJAY BAL/AU
E46	1	KULKARNI SANJAY T/AU
E47	10	KULKARNI SANJAY TAMMAJI/AU
E48	4	KULKARNI SANJAY V/AU
=> s e3 OR e11		
	78	"KULKARNI S"/AU
	125	"KULKARNI S J"/AU
L11	203	"KULKARNI S"/AU OR "KULKARNI S J"/AU
=> e kulkarni swa/au		
E1	2	KULKARNI SUVARN/AU
E2	20	KULKARNI SUVARN S/AU
E3	0 -->	KULKARNI SWA/AU
E4	1	KULKARNI SWAPNIL J/AU
E5	1	KULKARNI SWAPNIL JAYANT/AU
E6	5	KULKARNI SWARUPA G/AU
E7	1	KULKARNI SWARUPA GOVIND/AU
E8	11	KULKARNI SWATI/AU
E9	3	KULKARNI SWATI S/AU
E10	4	KULKARNI T/AU
E11	2	KULKARNI T G/AU
E12	1	KULKARNI T G R/AU
=> s e4-e5		
	1	"KULKARNI SWAPNIL J"/AU
	1	"KULKARNI SWAPNIL JAYANT"/AU

L12 2 ("KULKARNI SWAPNIL J"/AU OR "KULKARNI SWAPNIL JAYANT"/AU)

=> s 111 OR 112

L13 205 L11 OR L12

=> s L9 OR L10 OR L13

L14 243 L9 OR L10 OR L13

=> s L14 AND L7

L15 1 L14 AND L7

=> d

L15 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2005:1288806 CAPLUS

DN 144:22811

TI A novel process for the preparation of
1-(9H-carbazol-4-yloxy)-3-[[2-(methoxyphenoxy)-ethyl] amino]-propan-2-ol
(carvedilol)

IN Tarur, Venkatasubramanian Radhakrishnan; Sathe, Dhananjay

Govind; Kulkarni, Swapnil Jayant

PA USV Limited, India

SO PCT Int. Appl., 14 pp.

CODEN: P1XXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005115981	A2	20051208	WO 2005-IN139	20050503
	WO 2005115981	A3	20060119		
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	US 20070191456	A1	20070816	US 2006-568732	20061227
PRAI	IN 2004-MU479	A	20040422		
	WO 2005-IN139	W	20050503		
OS	CASREACT 144:22811				
RE.CNT 4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD				
	ALL CITATIONS AVAILABLE IN THE RE FORMAT				

=> d 17 15 ibib hitstr

L7 ANSWER 15 OF 245 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:708410 CAPLUS

DOCUMENT NUMBER: 145:152735

TITLE: Method and compositions for potentiating
pharmaceuticals with amino acid-based medical foods

INVENTOR(S): Shell, William E.; Charuvastra, Elizabeth

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U.S.

Ser. No. 228,765,.

CODEN: USXXCO

Patent

English

DOCUMENT TYPE:

LANGUAGE:

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060159726	A1	20060720	US 2006-386325	20060322
US 20040043054	A1	20040304	US 2002-228765	20020827 <--
WO 2007111958	A2	20071004	WO 2007-US7157	20070322
WO 2007111958	A3	20081211		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 1996213	A2	20081203	EP 2007-753759	20070322
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PRIORITY APPLN. INFO.:			US 2002-228765	A2 20020827
			US 2006-386325	A 20060322
			WO 2007-US7157	W 20070322

IT 72956-09-3, Carvedilol

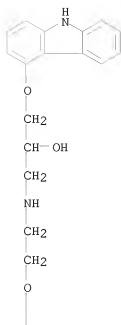
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method and compns. for potentiating pharmaceuticals with amino acid-based medical foods)

RN 72956-09-3 CAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



=> FIL STINGUIDE
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
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=> d 17 20 ibib hitstr
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YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L7 ANSWER 20 OF 245 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:445804 CAPLUS
DOCUMENT NUMBER: 144:474919

TITLE: Hydrodynamically balancing oral drug delivery system with biphasic release

INVENTOR(S): Kumar, Manoj; Talwar, Naresh; Raghuvanshi, Rajeev Singh; Rampal, Ashok Kumar

PATENT ASSIGNEE(S): India

SOURCE: U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S. Ser. No. 48,870.
CODEN: USXXCO

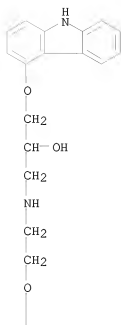
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060099245	A1	20060511	US 2005-514674	20050902
WO 2001010419	A1	20010215	WO 2000-IB1083	20000801 <--
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WO 2003097018	A1	20031127	WO 2002-IB1739	20020521 <--
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PRIORITY APPLN. INFO.:			WO 2000-IB1083	W 20000801
			US 2002-48870	A2 20020204
			WO 2002-IB1739	W 20020521
			WO 1999-IB1386	W 19990804
IT	72956-09-3, Carvedilol			
	RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)			
	(hydrodynamically balanced oral drug delivery system with biphasic release)			
RN	72956-09-3 CAPLUS			
CN	2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-(CA INDEX NAME)			



=> e carvedilol/cn
 'CN' IS NOT A VALID EXPAND FIELD CODE FOR FILE 'STNGUIDE'
 The indicated field code is not available for EXPAND in this
 file. To see a list of valid EXPAND field codes, enter HELP
 SFIELDS at an arrow prompt (=>).

=> file capl		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.28	479.32

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FILE COVERS 1907 - 12 Jan 2009 VOL 150 ISS 3
FILE LAST UPDATED: 11 Jan 2009 (20090111/ED)

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<http://www.cas.org/legal/infopolicy.html>

=> e (carvedilol OR "2-Propanol,
1-(9H-carbazol-4-yloxy)-3-((2-(2-methoxyphenoxy)ethyl)amino)-")/cn
REGISTRY INITIATED
Substance data EXPAND from CAS REGISTRY in progress...

E1	1	(CARBOXYTHIO)CHLORO(DIMETHYLAMINO)METHYLUM CHLORIDE, METHYL ESTER/CN
E2	1	(CARVACRYLOXY)ACETIC ACID/CN
E3	0 -->	(CARVEDILOL OR 2-PROPANOL, 1-(9H-CARBAZOL-4-YLOXY)-3-((2-(2- METHOXYPHENOXY)ETHYL)AMINO)-)/CN
E4	1	(CATECHOLATO)ANTIMONY(III) FLUORIDE/CN
E5	1	(CATECHOLATOBORYL) (2-(CATECHOLATOBORYL)PROPYL) ((2-PYRIDYL)ME THYL)AMINE/CN
E6	1	(CATECHOLATOBORYL) (2-(CATECHOLATOBORYL)PROPYL) ((2-THIENYL)ME THYL)AMINE/CN
E7	1	(CATECHOLATOBORYL) (2-(CATECHOLATOBORYL)PROPYL) (4-METHOXYBENZ YL)AMINE/CN
E8	1	(CATECHOLATOBORYL) (2-(CATECHOLATOBORYL)PROPYL) (4-NITROBENZYL)AMINE/CN
E9	1	(CATECHOLATOBORYL) (3-(CATECHOLATOBORYL)PROPYL) ((2-PYRIDYL)ME THYL)AMINE/CN
E10	1	(CATECHOLATOBORYL) (3-(CATECHOLATOBORYL)PROPYL) ((2-THIENYL)ME THYL)AMINE/CN
E11	1	(CATECHOLATOBORYL) (3-(CATECHOLATOBORYL)PROPYL) (4-METHOXYBENZ YL)AMINE/CN
E12	1	(CATECHOLATOBORYL) (3-(CATECHOLATOBORYL)PROPYL) (4-NITROBENZYL)AMINE/CN

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FULL ESTIMATED COST	0.50	480.80

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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 11 JAN 2009 HIGHEST RN 1093181-04-4
DICTIONARY FILE UPDATES: 11 JAN 2009 HIGHEST RN 1093181-04-4

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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<http://www.cas.org/support/stngen/stdoc/properties.html>

=> e carvedilol/cn

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E2	1	CARVASTATIN/CN
E3	1	--> CARVEDILOL/CN
E4	1	CARVEDILOL BENZOATE/CN
E5	1	CARVEDILOL CITRATE/CN
E6	1	CARVEDILOL DIHYDROGEN PHOSPHATE/CN
E7	1	CARVEDILOL GLUCURONIDE/CN
E8	1	CARVEDILOL GLUTARATE/CN
E9	1	CARVEDILOL HYDROGEN PHOSPHATE/CN
E10	1	CARVEDILOL LACTATE/CN
E11	1	CARVEDILOL MALEATE/CN
E12	1	CARVEDILOL MANDELATE/CN

=> e

E13	1	CARVEDILOL MESYLATE/CN
E14	1	CARVEDILOL MONOHYDROBROMIDE/CN
E15	1	CARVEDILOL MONOHYDROCHLORIDE/CN
E16	1	CARVEDILOL OXALATE/CN
E17	1	CARVEDILOL PHOSPHATE/CN
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E19	1	CARVEDILOL SALICYLATE/CN
E20	1	CARVEDILOL SULFATE/CN
E21	1	CARVEDIOL/CN
E22	1	CARVENE/CN
E23	1	CARVENOL/CN
E24	1	CARVENOLIDE/CN

=> s e3-e20

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1	"CARVEDILOL SULFATE"/CN

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GLUCURONIDE"/CN OR "CARVEDILOL GLUTARATE"/CN OR "CARVEDILOL HYDR
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"/CN OR "CARVEDILOL MONOHYDROBROMIDE"/CN OR "CARVEDILOL MONOHYDR
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SALICYLATE"/CN OR "CARVEDILOL SULFATE"/CN)

=> file capl
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
96.78	577.58

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FILE COVERS 1907 - 12 Jan 2009 VOL 150 ISS 3
FILE LAST UPDATED: 11 Jan 2009 (20090111/ED)

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L18      35 L16/RACT
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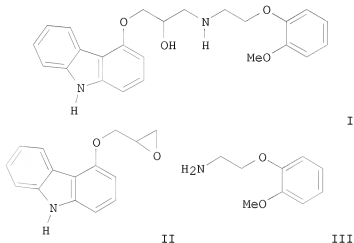
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      5120813 AY<2005
L20      25 L19 AND (PY<2005 OR AY<2005)
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=> d ibib abs

L20 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:714052 CAPLUS
DOCUMENT NUMBER: 148:471856
TITLE: Preparation of carvedilol
INVENTOR(S): Rao, Siripragada Mathender; Trivedi, Nikhil Rasiklal;
Sasidhar, Balla Venkata; Loganathan, Veluppillai
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd., India
SOURCE: Indian Pat. Appl., 9pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2004CH01400	A	20070622	IN 2004-CH1400	20041220 <--
PRIORITY APPLN. INFO.:			IN 2004-CH1400	20041220
OTHER SOURCE(S):	CASREACT	148:471856		
GI				



AB A process for the preparation of title compound I was disclosed. For example, condensation of epoxide II and amine III afforded title compound I in 99% purity.

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

13.96

591.54

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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-0.82

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LAST RELOADED: Jan 9, 2009 (20090109/UP).

=>

=> d 2-10 ibib abs

YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L20 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:299952 CAPLUS

DOCUMENT NUMBER: 146:395257

TITLE: Novel carvedilol derivatives and their use in treatment of cancer

INVENTOR(S): Ramadoss, Sunder; Jaggi, Manu; Dixit, Girish; Sharma, Arvind Kumar

PATENT ASSIGNEE(S): Dabur Research Foundations, India

SOURCE: Indian Pat. Appl., 23pp.

CODEN: INXXBQ

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2001DE00001	A	20050311	IN 2001-DE1	20010101 <--
PRIORITY APPLN. INFO.:			IN 2001-DE1	20010101
OTHER SOURCE(S):	MARPAT 146:395257			
AB	The invention relates to novel carvedilol derivs. having anticancer activity, processes for producing such derivs. and their use for treating or cancer of the lung, prostate. etc.			

L20 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:845541 CAPLUS

DOCUMENT NUMBER: 145:505330

TITLE: Synthesis of carvedilol via method which inhibits formation of impurities

INVENTOR(S): Byun, Il Suk; Chang, Suk Ku; Kim, Wan Joo; Kim, Young Youn; Lee, Woo Hwa; Oh, Chun Rim; Ryu, Jung Bok

PATENT ASSIGNEE(S): Chemtech Research Incorporation, S. Korea

SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given

CODEN: KRXXA7

DOCUMENT TYPE: Patent

LANGUAGE: Korean

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2005003764	A	20050112	KR 2003-45256	20030704 <--
PRIORITY APPLN. INFO.:			KR 2003-45256	20030704
AB	A method for preparing carvedilol [i.e., 1-(9H-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propanol] is provided, thereby inhibiting formation of impurities. The highly pure product is useful for the treatment of hypertension. The method for preparing carvedilol thus comprises the reaction of a 1-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propanone derivative with 9H-4-hydroxycarbazole. The resulting intermediate then reduced and			

debenzylated to give the target compound. The debenzylation of the reduced intermediate is carried out using a catalyst in presence of base, such as potassium carbonate, sodium carbonate, potassium hydride or sodium hydride.

L20 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2009 ACS ON STN

ACCESSION NUMBER: 2006:823350 CAPLUS

DOCUMENT NUMBER: 145:235875

TITLE: Carvedilol free base, salts, anhydrous forms or solvates thereof, corresponding pharmaceutical compositions, controlled release formulations, and treatment or delivery methods

INVENTOR(S): Burke, Matthew D.; Coffin, Mark Davis; Lamey, Kimberly A.; Martini, Luigi G.; Oh, Choon K.; Peterson, Heather; Staton, Jeffrey Scott; Zhang, Lihua
USA

PATENT ASSIGNEE(S):
SOURCE: U.S. Pat. Appl. Publ., 179pp., Cont.-in-part of U.S. Ser. No. 996,904.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060182804	A1	20060817	US 2005-137261	20050525
US 20050169994	A1	20050804	US 2004-996904	20041124 <--
PRIORITY APPLN. INFO.:			US 2003-524991P	P 20031125
			US 2004-996904	A2 20041124

AB The present invention also relates to carvedilol free base, salts, anhydrous forms, or solvates thereof, corresponding pharmaceutical compns. or controlled release formulations, and methods delivery of carvedilol forms to the lower gastrointestinal tract or methods to treat cardiovascular diseases, which may include, but are not limited to hypertension, congestive heart failure, and angina. The present invention relates to control release formulations, which comprise various carvedilol forms, which may include, but are not limited to carvedilol free base and corresponding carvedilol salts, anhydrous forms or solvates thereof. For example, tablet was prepared containing carvedilol phosphate hemihydrate 41.4 mg, mannitol 261.6 mg, hypromellose 120.4 mg, microcryst. cellulose 120.6 mg, Povidone 47.0 mg, colloidal silicone dioxide 6.0 mg, and magnesium stearate 6.0 mg. The tablet was coated by spraying an aqueous suspension of the following ingredients: Opadry II color 12.1 mg, Eudragit L30 D55 39.2 mg, tri-Et citrate 4.0 mg, glyceryl monostearate 1.3 mg, and Polysorbate 80 4.0 mg.

L20 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2009 ACS ON STN

ACCESSION NUMBER: 2005:1288806 CAPLUS

DOCUMENT NUMBER: 144:22811

TITLE: A novel process for the preparation of 1-(9H-carbazol-4-yloxy)-3-[(2-(4-methoxyphenoxy)-ethyl] amino]-propan-2-ol (carvedilol)

INVENTOR(S): Tarur, Venkatasubramanian Radhakrishnan; Sathe, Dhananjay Govind; Kulkarni, Swapnil Jayant

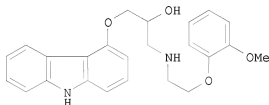
PATENT ASSIGNEE(S): USV Limited, India
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2005115981	A2	20051208	WO 2005-IN139	20050503
WO 2005115981	A3	20060119		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2004MU00479	A	20060616	IN 2004-MU479	20040422 <--
US 20070191456	A1	20070816	US 2006-568732	20061227
PRIORITY APPLN. INFO.:			IN 2004-MU479	A 20040422
			WO 2005-IN139	W 20050503
OTHER SOURCE(S):		CASREACT 144:22811		
GI				



AB This invention disclosed a novel process for preparation of carvedilol (I) in high purity by using eco friendly solvents. The process comprised reacting 4-hydroxycarbazole with epichlorhydrin in presence of an organic solvent and a base at temps. between 10° and 30°, and then reacting the resultant 4-(2,3-epoxypropoxy)carbazole with a salt of 2-(2-methoxyphenoxy)ethylamine, preferably the hydrochloride salt, in presence of a base and a hydroxylic solvent at temps. between 30° and 90°.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:1128799 CAPLUS
 DOCUMENT NUMBER: 143:386916
 TITLE: An improved process for the manufacture of carvedilol
 INVENTOR(S): Kankan, Rajendra Narayan Rao; Rao, Dharamraj
 Ramchandra
 PATENT ASSIGNEE(S): Cipla Ltd., India
 SOURCE: Indian, 11 pp.
 CODEN: INXXAP
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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IN 186587 A1 20011006 IN 1999-BO583 19990817 <--
 PRIORITY APPLN. INFO.: IN 1999-BO583 19990817
 OTHER SOURCE(S): CASREACT 143:386916; MARPAT 143:386916
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An improved process for the manufacture of Carvedilol I, a potent antihypertensive (no biol. data given) by catalytic hydrogenation of N-substituted Carvedilol II [R1 = (un)substituted CH2Ph; formed by reacting carbazole III with a substituted amine IV]. Thus, N-alkylating benzylamine with 2-(2-methoxyphenoxy)ethyl bromide followed by reaction of the resulting N-[2-(2-methoxyphenoxy)ethyl]benzenemethanamine hydrochloride with 4-(2,3-epoxypropoxy)carbazole, and subsequent hydrogenation of the II [R1 = CH2Ph] afforded carvedilol I.

L20 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:962205 CAPLUS
 DOCUMENT NUMBER: 143:266815
 TITLE: Process for the manufacture of racemic carvedilol from 4-(oxiran-2-ylmethoxy)-9H-carbazole and 2-(2-methoxyphenoxy)ethylamine
 INVENTOR(S): Shah, Dhiraj R.; Naik, Ashish P.; Purohit, Parva Y.; Sharma, Rajivkumar; Agarwal, Virendra Kumar
 PATENT ASSIGNEE(S): Cadila Healthcare Limited, India
 SOURCE: PCT Int. Appl., 14 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005080329	A2	20050901	WO 2005-IN56	20050222
WO 2005080329	A3	20060928		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM			
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IN 2004MU00219	A	20060120	IN 2004-MU219	20040223 <--
CA 2560353	A1	20050901	CA 2005-2560353	20050222
EP 1723107	A2	20061122	EP 2005-747343	20050222
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
US 20080214833	A1	20080904	US 2007-589998	20071116
PRIORITY APPLN. INFO.:			IN 2004-MU219	A 20040223
			WO 2005-IN56	W 20050222
OTHER SOURCE(S):	CASREACT 143:266815; MARPAT 143:266815			
AB	Carvedilol of high HPLC purity (>99.5 %) is prepared by the ring-opening addition reaction of 4-(oxiran-2-ylmethoxy)-9H-carbazole with			

2-(2-methoxyphenoxy)ethylamine followed by salification of the impure carvedilol with an organic acid (e.g., salicylic acid) and neutralization of the carvedilol salt (e.g., carvedilol salicylate) with a base to give pure carvedilol.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2009 ACS ON STN

ACCESSION NUMBER: 2005:493479 CAPLUS

DOCUMENT NUMBER: 143:32328

TITLE: Carvedilol free base, salts and solvates for controlled release formulations for treatment of cardiovascular diseases

INVENTOR(S): Burke, Matthew D.; Lamey, Kimberly A.; Martini, Luigi G.; Oh, Choon; Peterson, Heather; Staton, Jeffrey Scott; Zhang, Lihua; Coffin, Mark Davis

PATENT ASSIGNEE(S): SB Pharmco Puerto Rico Inc., P. R.

SOURCE: PCT Int. Appl., 248 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051325	A2	20050609	WO 2004-US39677	20041124 <--
WO 2005051325	A3	20050811		
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG</p>				
EP 1686967	A2	20060809	EP 2004-812238	20041124 <--
<p>R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS</p>				
JP 2007512350	T	20070517	JP 2006-541444	20041124 <--
<p>PRIORITY APPLN. INFO.: US 2003-524991P P 20031125</p>				
<p>WO 2004-US39677 W 20041124</p>				
<p>AB The present invention relates to carvedilol free base, salts, anhydrous forms, or solvates thereof, corresponding pharmaceutical compns. or controlled release formulations, and methods for delivery of carvedilol forms to the lower gastrointestinal tract or methods to treat cardiovascular diseases, which may include, but are not limited to hypertension, congestive heart failure, and angina. Thus, carvedilol monohydrate was prepared by reaction of 100 g 20% citric acid solution and 2.2 g carvedilol and overnight evaporation giving large single crystals. Also, controlled-release carvedilol tablets were prepared by spray coating a core. The core comprised carvedilol phosphate hemihydrate 41.4, mannitol 261.6, Hypromellose 120.4, microcryst. cellulose 120.6, Povidone 47, colloidal silica 6.0, and Mg stearate 6.0 mg. The cores were spray coated by an aqueous suspension containing (per tablet) Opadry II Color 12.1, Eudragit L30 D-55 39.2, tri-Et citrate 4.0, glyceryl stearate 1.3, and Polysorbate 80 4.0 mg.</p>				
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT		

L20 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:490290 CAPLUS

DOCUMENT NUMBER: 143:32320

TITLE: Carvedilol salts and solvates and corresponding compositions for treatment of cardiovascular diseases

INVENTOR(S): Brook, Christopher S.; Chen, Pingyun Y.; Chen, Wei; Dai, Qunying; Dell'Orco, Philip C.; Hisler, Claire; Igo, David H.; Katrincic, Lee M.; Labaw, Clifford S.; Louvet, Ann Marie; Oh, Choon K.; Ping, Li-Jen; Spoor, Paul G.; Wang, Jun; Werner, Christopher

PATENT ASSIGNEE(S): SB Pharmco Puerto Rico Inc., USA

SOURCE: PCT Int. Appl., 196 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051383	A1	20050609	WO 2004-US39528	20041124 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005027689	A1	20051215	US 2004-997230	20041124 <--
EP 1686986	A1	20060809	EP 2004-812113	20041124 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS				
JP 2007512372	T	20070517	JP 2006-541702	20041124 <--
PRIORITY APPLN. INFO.:			US 2003-524921P	P 20031125
			WO 2004-US39528	W 20041124

AB The present invention relates to a salt of carvedilol and/or corresponding solvates thereof, compns. containing such carvedilol and/or corresponding solvates thereof, and/or methods of using the aforementioned compound(s) in the treatment of certain disease states in mammals, in particular man. The present invention further relates to carvedilol phosphate salts, and/or solvates thereof, which include a novel crystalline form of carvedilol dihydrogen phosphate, and/or carvedilol hydrogen phosphate, and/or other corresponding solvates thereof, compns. containing these carvedilol salts and/or solvates, and methods of using these compds. to treat hypertension, congestive heart failure, angina, etc. Thus, carvedilol dihydrogen phosphate hemihydrate Form I was prepared from a reaction mixture of carvedilol and H3PO4 in acetone by adding seeds of carvedilol dihydrogen phosphate. Also, the pharmacokinetic study in dogs showed that oral bioavailability from carvedilol base in the small intestine is constrained by its low solubility at neutral pH. When oral units were introduced to the stomach, the low gastric pH can be expected to facilitate dissoln. and absorption but this will not be the case in the more neutral small intestine or beyond. Thus, salts of carvedilol (carvedilol hydrobromide, phosphate and citrate) were formulated by using conventional (non-solubilizing) excipients such that drug did not become available until units were beyond the gastric milieu. Drug administered in salt form was rapidly and more completely absorbed than the free base form.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:490272 CAPLUS
 DOCUMENT NUMBER: 143:48055
 TITLE: Controlled release pharmaceuticals containing carvedilol, its salts, or solvates
 INVENTOR(S): Castan, Catherine; Crowley, Patrick J.; Guimberteau, Florence; Meyrueix, Remi; Oh, Choon; Soula, Gerard
 PATENT ASSIGNEE(S): SB Pharmco Puerto Rico Inc., P. R.; Flamel Technologies
 SOURCE: PCT Int. Appl., 287 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051322	A2	20050609	WO 2004-US39614	20041124 <--
WO 2005051322	A3	20060420		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2547137	A1	20050609	CA 2004-2547137	20041124 <--
US 20050175695	A1	20050811	US 2004-997836	20041124 <--
US 20050196459	A1	20050908	US 2004-996780	20041124 <--
EP 1691789	A2	20060823	EP 2004-812185	20041124 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR, IS, YU			
JP 2007512375	T	20070517	JP 2006-541724	20041124 <--
PRIORITY APPLN. INFO.:			US 2003-524991P	P 20031125
			US 2004-605680P	P 20040830
			WO 2004-US39614	W 20041124

AB The present invention also relates to carvedilol free base, its salts, anhydrous forms, or solvates, corresponding controlled release formulations, and delivery or dosing methods of carvedilol forms to the lower gastrointestinal tract or methods to treat cardiovascular diseases, which may include, but are not limited to hypertension, congestive heart failure, atherosclerosis, and angina. The present invention relates to controlled release formulations, which comprise various carvedilol forms, which may include, but are not limited to a carvedilol free base or corresponding carvedilol salts, anhydrous forms or solvates thereof. Thus, carvedilol dihydrogen phosphate dihydrate was prepared by dissolving carvedilol dihydrogen phosphate in acetone/water mixture and removing acetone.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file chemistry

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ENTRY

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ENTRY

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    11 FILES SEARCHED...
    18 FILES SEARCHED...
    29 FILES SEARCHED...
L21      756 CARVEDILOL (S) (SYNTHES#### OR PRODUC####)
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NO F-NUMBERS CURRENTLY EXIST
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'2005' NOT A VALID FIELD CODE
L22 515 L21 AND (AY<2005 OR PY<2005)

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AN 6664266 BABS
TI Differential Effects of Bucindolol and Carvedilol on Noradrenaline-Induced
Hypertrophic Response in Ventricular Cardiomyocytes of Adult Rats
AU Poenicke, Klaus; Heinroth-Hoffmann, Ingrid; Brodde, Otto-Erich
SO J. Pharmacol. Exp. Ther. (2002), 301(1), 71 - 76
CODEN: JPETAB
DT Journal

L22 ANSWER 2 OF 515 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
AN 6643649 BABS
TI Neurohormonal Activation, Oxygen Free Radicals, and Apoptosis in the
Pathogenesis of Congestive Heart Failure
AU Ruffolo, Robert R.; Feuerstein, Giora Z.
SO J. Cardiovas. Pharmacol. (1998), 32-S1(S1), S22 - S30
CODEN: JCPCDT
DT Journal

L22 ANSWER 3 OF 515 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
AN 6643109 BABS
TI β -Blockers of the Third Generation Inhibit Endothelin-1 Liberation,
mRNA Production and Proliferation of Human Coronary Smooth Muscle and
Endothelial Cells
AU Brehm, Bernhard R.; Bertsch, Daniela; Fallois, Jobst von; Wolf, Sabine C.
SO J. Cardiovas. Pharmacol. (2000), 36-S1(S1), S401 - S403
CODEN: JCPCDT
DT Journal

L22 ANSWER 4 OF 515 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
AN 6642307 BABS
TI Effects of Nebivolol on Human Platelet Aggregation
AU Falciani, Maddalena; Rinaldi, Barbara; D'Agostino, Bruno; Mazzeo,
Filomena; Rossi, Settimio; Nobili, Bruno; Rossi, Francesco; Filippelli,
Amelia
SO J. Cardiovas. Pharmacol. (2001), 38(6), 922 - 929
CODEN: JCPCDT
DT Journal

L22 ANSWER 5 OF 515 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
AN 6641841 BABS
TI Chronic Infusion of β -Adrenoceptor Antagonist and Inverse Agonists
Decreases Elevated Protein Kinase A Activity in Transgenic Mice With
Cardiac-Specific Overexpression of Human β 2-Adrenoceptor
AU Liu, Xiushi; Callaerts-Vegh, Zsuzsanna; Evans, Kenda L. J.; Bond, Richard
A.
SO J. Cardiovas. Pharmacol. (2002), 40(3), 448 - 455
CODEN: JCPCDT
DT Journal

L22 ANSWER 6 OF 515 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
AN 6604020 BABS
TI In Vitro and In Vivo Characterization of Intrinsic Sympathomimetic
Activity in Normal and Heart Failure Rats
AU Willette, Robert N.; Aiyar, Nambi; Yue, Tian-Li; Mitchell, Marcus P.;
Disa, Jyoti; Storer, Barbara L.; Naselsky, Diane P.; Stadel, Jeffery M.;
Ohlstein, Eliot H.; Ruffolo, Robert R.
SO J. Pharmacol. Exp. Ther. (1999), 289(1), 48 - 53

CODEN: JPETAB
DT Journal

L22 ANSWER 7 OF 515 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
AN 6577196 BABS
TI Carvedilol improves energy production during acute
global myocardial ischaemia
AU Monteiro, Pedro; Duarte, Ana I.; Moreno, Antonio; Goncalves, Lino M.;
Providencia, Luis A.
SO Eur. J. Pharmacol. (2003), 482(1-3), 245 - 254
CODEN: EJPHAZ
DT Journal

L22 ANSWER 8 OF 515 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
AN 6577022 BABS
TI Carvedilol Inhibits Platelet-Derived Growth Factor-Induced Signal
Transduction in Human Cardiac Fibroblasts
AU Lotze, Ulrich; Heinke, Stefan; Fritzenwanger, Michael; Krack, Andreas;
Mueller, Siegfried; Figulla, Hans R.
SO J. Cardiovas. Pharmacol. (2002), 39(4), 576 - 589
CODEN: JCPCDT
DT Journal

L22 ANSWER 9 OF 515 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
AN 6574551 BABS
TI Oxidative Inactivation of Nitric Oxide and Endothelial Dysfunction in
Stroke-Prone Spontaneous Hypertensive Rats
AU Ma, Xin-Liang; Gao, Feng; Nelson, Allen H.; Lopez, Bernard L.;
Christopher, Theodore A.; Yue, Tian-Li; Barone, Frank C.
SO J. Pharmacol. Exp. Ther. (2001), 298(3), 879 - 885
CODEN: JPETAB
DT Journal

L22 ANSWER 10 OF 515 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
AN 6556428 BABS
TI Differential Effects of Short and Prolonged Exposure to Carvedilol on
Voltage-Dependent Na(1+) Channels in Cultured Bovine Adrenal Medullary
Cells
AU Kajiwara, Koji; Yanagita, Toshihiko; Nakashima, Yasuhide; Wada, Akihiko;
Izumi, Futoshi; Yanagihara, Nobuyuki
SO J. Pharmacol. Exp. Ther. (2002), 302(1), 212 - 218
CODEN: JPETAB
DT Journal

=> s carvedilol AND (synthes### OR produc####)/ti
NUMERIC VALUE NOT VALID 'SYNTHES###'
NUMERIC VALUE NOT VALID 'PRODUC####'
38 FILES SEARCHED...
L23 149 CARVEDILOL AND (SYNTHES### OR PRODUC####)/TI
=> d 1-10

L23 ANSWER 1 OF 149 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
AN 6643109 BABS
TI β -Blockers of the Third Generation Inhibit Endothelin-1 Liberation,
mRNA Production and Proliferation of Human Coronary Smooth
Muscle and Endothelial Cells
AU Brehm, Bernhard R.; Bertsch, Daniela; Fallois, Jobst von; Wolf, Sabine C.
SO J. Cardiovas. Pharmacol. (2000), 36-S1(S1), S401 - S403
CODEN: JCPCDT
DT Journal

L23 ANSWER 2 OF 149 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
 AN 6577196 BABS
 TI Carvedilol improves energy production during acute
 global myocardial ischaemia
 AU Monteiro, Pedro; Duarte, Ana I.; Moreno, Antonio; Goncalves, Lino M.;
 Providencia, Luis A.
 SO Eur. J. Pharmacol. (2003), 482(1-3), 245 - 254
 CODEN: EJPHAZ
 DT Journal

L23 ANSWER 3 OF 149 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
 AN 6493867 BABS
 TI Carvedilol Inhibits Basal and Stimulated ACE Production
 in Human Endothelial Cells
 AU Saijonmaa, Outi; Nyman, Tuulikki; Fyhrquist, Frej
 SO J. Cardiovas. Pharmacol. (2004), 43(5), 616 - 621
 CODEN: JPCPDT
 DT Journal

L23 ANSWER 4 OF 149 BABS COPYRIGHT 2009 Elsevier Inf. Sys. on STN
 AN 6356983 BABS
 TI No Effect of Carvedilol on Nitric Oxide Generation in Phagocytes
 but Modulation of Production of Superoxide Ions
 AU Asbrink, Sara; Zickert, Agneta; Bratt, Johan; Gyllenhammar, Hans;
 Palmblad, Jan
 SO Biochem.Pharmacol. (2000), 59, 1007 - 1014
 CODEN: BCPCA6
 DT Journal
 LA English
 SL English

L23 ANSWER 5 OF 149 BIOTECHNO COPYRIGHT 2009 Elsevier Science B.V. on STN
 AN 2001:32548002 BIOTECHNO
 TI Relationship between tumor necrosis factor-alpha production and
 oxidative stress in the failing hearts of patients with dilated
 cardiomyopathy
 AU Tsutamoto T.; Wada A.; Matsumoto T.; Maeda K.; Mabuchi N.; Hayashi M.;
 Tsutsui T.; Ohnishi M.; Sawaki M.; Fujii M.; Matsumoto T.; Yamamoto T.;
 Horie H.; Sugimoto Y.; Kinoshita M.
 CS Dr. T. Tsutamoto, First Dept. of Internal Medicine, Shiga University of
 Medical Science, Seta, Otsu 520-2192, Japan.
 E-mail: tutamoto@belle.shiga-med.ac.jp
 SO Journal of the American College of Cardiology, (15 JUN 2001), 37/8
 (2086-2092), 34 reference(s)
 CODEN: JACCDI ISSN: 0735-1097
 PUI S0735109701012992
 DT Journal; Article
 CY United States
 LA English
 SL English

L23 ANSWER 6 OF 149 CABA COPYRIGHT 2009 CABI on STN
 AN 2003:72474 CABA
 DN 20033038949
 TI Carvedilol increases the production of interleukin-12
 and interferon-[gamma] and improves the survival of mice infected with the
 encephalomyocarditis virus
 AU Nishio, R.; Shioi, T.; Sasayama, S.; Matsumori, A.
 CS Department of Cardiovascular Medicine, Kyoto University Graduate School of
 Medicine, 54 Kawaharacho, Shogoin, Sakyo-ku, Kyoto 606-8397, Japan.
 SO Journal of the American College of Cardiology, (2003) Vol. 41, No. 2, pp.

340-345.
 Publisher: Elsevier Science Inc. New York
 ISSN: 0735-1097
 URL: <http://www.cardiosource.com/library/journals/journal/article/abstract?acronym=JAC&uid=PIIS0735109702027110&kwhighlight=++>
 CY United States
 DT Journal
 LA English
 ED Entered STN: 2 May 2003
 Last Updated on STN: 2 May 2003

L23 ANSWER 7 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2008:1028520 CAPLUS
 DN 149:386899
 TI HPLC analysis, isolation and identification of a new degradation product in carvedilol tablets
 AU Galanopoulou, Olga; Rozou, Stavroula; Antoniadou-Vyza, Ekaterini
 CS Department of Pharmaceutical Chemistry, School of Pharmacy, University of Athens, Panepistimiopolis Zografou, Athens, 15771, Greece
 SO Journal of Pharmaceutical and Biomedical Analysis (2008), 48(1), 70-77
 CODEN: JPBADA; ISSN: 0731-7085
 PB Elsevier B.V.
 DT Journal
 LA English
 RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 8 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:1469418 CAPLUS
 DN 148:85734
 TI Rehydratable pharmaceutical product
 IN Willis, Sean; Palmer, Rosemary
 PA Biocompatibles UK Limited, UK
 SO PCT Int. Appl., 26pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007147902	A2	20071227	WO 2007-EP56282	20070622
WO 2007147902	A3	20080313		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
PRAI EP 2006-253242	A	20060622		

L23 ANSWER 9 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN
 AN 2007:1303026 CAPLUS
 DN 147:528170
 TI Use of roll compacted pyrogenically produced silicon dioxide in pharmaceutical compositions
 IN Gray, Ann; Drechsler, Margarete; Hofmann, Ralph

PA Degussa G.m.b.H., Germany
 SO PCT Int. Appl., 53pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007128349	A1	20071115	WO 2006-EP62215	20060510
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AI, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRAI WO 2006-EP62215 20060510

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 10 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:1001398 CAPLUS

DN 148:285254

TI Synthesis and bioactivity of some new

2-substituted-3,4-dihydro-1-(9H-carbazol-4-yloxy)methyl-3-[2-(2-methoxyphenoxy)ethyl]-1,3,2 λ 5-oxazaphosphole 2-oxides, sulfides and selenides

AU Srinivasulu, K.; Kumar, M. Anil; Raju, C. Naga; Reddy, C. Suresh

CS Department of Chemistry, Sri Venkateswara University, Tirupati, 517 502, India

SO ARKIVOC (Gainesville, FL, United States) (2007), (14), 100-109

CODEN: AGFUAR

URL: [http://content.arkat-](http://content.arkat-usa.org/ARKIVOC/JOURNAL_CONTENT/manuscripts/2007/07-2583UP%20as%20published%20mainmanuscript.pdf)

[usa.org/ARKIVOC/JOURNAL_CONTENT/manuscripts/2007/07-2583UP%20as%20published%20mainmanuscript.pdf](http://content.arkat-usa.org/ARKIVOC/JOURNAL_CONTENT/manuscripts/2007/07-2583UP%20as%20published%20mainmanuscript.pdf)

PB Arkat USA Inc.

DT Journal; (online computer file)

LA English

OS CASREACT 148:285254

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

182.25

806.12

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

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LAST RELOADED: Jan 9, 2009 (20090109/UP).

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L23 ANSWER 11 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:941781 CAPLUS
DN 147:269174
TI Metaxalone products, method of manufacture, and method of use
IN Du, Jie; Roberts, Richard H.
PA Mutual Pharmaceutical Company, Inc., USA
SO PCT Int. Appl., 86pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007094825	A2	20070823	WO 2006-US40034	20061011
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RM: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	US 20070088065	A1	20070419	US 2006-349534	20060206
	US 7122566	B1	20061017	US 2006-364468	20060228
	US 20070088066	A1	20070419	US 2006-483332	20060707
	US 7378434	B2	20080527		
	CA 2626027	A1	20070823	CA 2006-2626027	20061011
PRAI	US 2005-726861P	P	20051014		
	US 2006-349534	A	20060206		
	US 2006-364468	A	20060228		
	US 2006-483332	A	20060707		
	WO 2006-US40034	W	20061011		

L23 ANSWER 12 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:770875 CAPLUS
DN 148:545974
TI A novel cost effective process for production of carvedilol phosphate
IN Shankar, Sanganbhatla; Pandurang, Suryavanshi Jitendra; Sayyed, Zahid Alam
PA Wanbury Limited, India
SO Indian Pat. Appl., 13pp.
CODEN: INXXBQ
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	IN 2007MU00929	A	20070706	IN 2007-MU929	20070517

WO 2008142703 A1 20081127 WO 2007-IN333 20070806
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
 CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
 GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
 KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
 MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
 PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM

US 20080287688 A1 20081120 US 2007-936634 20071107
 PRAI IN 2007-MU929 A 20070517

L23 ANSWER 13 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:577459 CAPLUS

DN 147:242929

TI The incorporation of an organically modified layered silicate in
 monolithic polymeric matrices produced using hot melt extrusion

AU Lyons, John G.; Holehonnur, Harshad; Devine, Declan M.; Kennedy, James E.;
 Geever, Luke M.; Blackie, Paul; Higginbotham, Clement L.

CS Centre for Biopolymer and Biomolecular Research, Athlone Institute of
 Technology, Athlone, Ire.

SO Materials Chemistry and Physics (2007), 103(2-3), 419-426

CODEN: MCHPDR; ISSN: 0254-0584

PB Elsevier B.V.

DT Journal

LA English

RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 14 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:397789 CAPLUS

DN 148:239026

TI A cost effective process for production of carvedilol

IN Shankar, Sanganabhatla; Pandurang, Suryavanshi Jitendra; Moorthy, Koduru
 Ramanarasimha

PA Wanbury Limited, India

SO Indian Pat. Appl., 8pp.

CODEN: INXXBQ

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	IN 2006MU00771	A	20060825	IN 2006-MU771	20060522
PRAI	IN 2006-MU771		20060522		
OS	CASREACT 148:239026				

L23 ANSWER 15 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:344070 CAPLUS

DN 147:63925

TI Carvedilol, a nonselective β -blocker, suppresses the
 production of tumor necrosis factor and tissue factor by
 inhibiting early growth response factor-1 expression in human monocytes in
 vitro

AU Mizuochi, Yuichiro; Okajima, Kenji; Harada, Naoaki; Molor-Erdene,
 Perenlei; Uchiba, Mitsuhiro; Komura, Hidefumi; Tsuda, Takako; Katsuya,
 Hirotada

CS Department of Anesthesiology and Medical Crisis Management and the

Department of Biodefense Medicine, Nagoya City University Graduate School
of Medical Sciences, Nagoya, 467-8601, Japan
Translational Research (2007), 149(4), 223-230
CODEN: TRRECL; ISSN: 1931-5244

SO
PB Elsevier
DT Journal
LA English

RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 16 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2007:261294 CAPLUS
DN 147:95233

TI Monitoring of the photochemical stability of carvedilol and its
degradation products by the RP-HPLC method
AU Stojanovic, Jelena; Vladimirov, Sote; Marinkovic, Valentina; Velickovic,
Dragan; Sibinovic, Predrag
CS Pharmaceutical and Chemical Industry, Quality Control Department, Zdravlje
Actavis Company, Leskovac,
SO Journal of the Serbian Chemical Society (2007), 72(1), 37-44
CODEN: JSCSEN; ISSN: 0352-5139

PB Serbian Chemical Society
DT Journal
LA English

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 17 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:845541 CAPLUS
DN 145:505330

TI Synthesis of carvedilol via method which inhibits
formation of impurities
IN Byun, Il Suk; Chang, Suk Ku; Kim, Wan Joo; Kim, Young Youn; Lee, Woo Hwa;
Oh, Chun Rim; Ryu, Jung Bok
PA Chemtech Research Incorporation, S. Korea
SO Repub. Korean Kongkai Taeho Kongbo, No pp. given
CODEN: KRXXA7

DT Patent
LA Korean
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	KR 2005003764	A	20050112	KR 2003-45256	20030704
PRAI	KR 2003-45256		20030704		

L23 ANSWER 18 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:311990 CAPLUS
DN 145:284551

TI Carvedilol Action Is Dependent on Endogenous Production
of Nitric Oxide
AU Afonso, Ricardo A.; Patarrao, Rita S.; Macedo, M. Paula; Carmo, Mota M.
CS Department of Biochemistry, Faculty of Medical Sciences, Universidade Nova
de Lisboa, Lisbon, Port.
SO American Journal of Hypertension (2006), 19(4), 419-425
CODEN: AJHYE6; ISSN: 0895-7061

PB Elsevier Inc.
DT Journal
LA English

RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 19 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:285258 CAPLUS
DN 145:224576
TI Carvedilol inhibits mitochondrial oxygen consumption and
superoxide production during calcium overload in isolated heart
mitochondria
AU Kametani, Ryosuke; Miura, Toshiro; Harada, Nozomu; Shibuya, Masaki; Wang,
Ruijuan; Tan, Hong; Fukagawa, Yasuhiro; Kawamura, Shuji; Matsuzaki,
Masunori
CS The Department of Cardiovascular Medicine, Yamaguchi University Graduate
School of Medicine, Ube, Japan
SO Circulation Journal (2006), 70(3), 321-326
CODEN: CJIOBY; ISSN: 1346-9843
PB Japanese Circulation Society
DT Journal
LA English
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L23 ANSWER 20 OF 149 CAPLUS COPYRIGHT 2009 ACS on STN
AN 2006:229252 CAPLUS
DN 145:202750
TI The influence of carvedilol on the production of
reactive oxygen and nitrogen species by phagocytes
AU Gallova, Lucie; Pavelkova, Martina; Macickova, Tatiana; Nosal, Radomir;
Ciz, Milan; Lojek, Antonin
CS Institute of Biophysics, Academy of Sciences of the Czech Republic, Brno,
CZ-61265, Czech Rep.
SO Biologia (Bratislava, Slovakia) (2005), 60(Suppl. 17), 125-128
CODEN: BLOAAO; ISSN: 0006-3088
PB Slovak Academy of Sciences
DT Journal
LA English
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 13:59:29 ON 12 JAN 2009)

FILE 'REGISTRY' ENTERED AT 13:59:42 ON 12 JAN 2009

L1 STRUCTURE UPLOADED
L2 0 S L1 SSS FULL
L3 STRUCTURE UPLOADED
L4 127 S L3 SSS FULL

FILE 'CAPLUS' ENTERED AT 14:04:14 ON 12 JAN 2009

L5 1959 S L4
L6 265 S L5 AND AY<2005
E CARVEDILOL+ALL/CT
L7 245 S L6 AND (CARVEDILOL OR "2-PROPANOL, 1-(9H-CARBAZOL-4-YLOXY)-3-

FILE 'REGISTRY' ENTERED AT 14:24:38 ON 12 JAN 2009

L8 0 S TARUR/AU

FILE 'REGISTRY' ENTERED AT 14:25:22 ON 12 JAN 2009

FILE 'REGISTRY' ENTERED AT 14:25:32 ON 12 JAN 2009

FILE 'CAPLUS' ENTERED AT 14:26:29 ON 12 JAN 2009

L9 E TARUR V/AU
28 S E4-E8

L10 E SATHE D/AU
 33 S E5 OR E8-E11
 E KULKARNI S/AU
 L11 203 S E3 OR E11
 E KULKARNI SWA/AU
 L12 2 S E4-E5
 L13 205 S L11 OR L12
 L14 243 S L9 OR L10 OR L13
 L15 1 S L14 AND L7

FILE 'STNGUIDE' ENTERED AT 14:32:24 ON 12 JAN 2009

FILE 'CAPLUS' ENTERED AT 14:36:16 ON 12 JAN 2009

FILE 'STNGUIDE' ENTERED AT 14:36:17 ON 12 JAN 2009

FILE 'CAPLUS' ENTERED AT 14:38:28 ON 12 JAN 2009
 E CARVEDILOL+ALL/CT

FILE 'REGISTRY' ENTERED AT 14:38:47 ON 12 JAN 2009
 E (CARVEDILOL OR "2-PROPANOL, 1-(9H-CARBAZOL-4-YLOXY)-3-((2-(2-

FILE 'CAPLUS' ENTERED AT 14:38:47 ON 12 JAN 2009

FILE 'REGISTRY' ENTERED AT 14:39:02 ON 12 JAN 2009
 E CARVEDILOL/CN
 L16 17 S E3-E20

FILE 'CAPLUS' ENTERED AT 14:39:41 ON 12 JAN 2009

L17 1920 S L16
 L18 35 S L16/RACT
 L19 39 S L16/PREP
 L20 25 S L19 AND (PY<2005 OR AY<2005)

FILE 'STNGUIDE' ENTERED AT 14:42:22 ON 12 JAN 2009

FILE 'CAPLUS' ENTERED AT 15:04:50 ON 12 JAN 2009

FILE 'STNGUIDE' ENTERED AT 15:04:51 ON 12 JAN 2009

FILE 'AGRICOLA, ALUMINIUM, ANABSTR, APOLLIT, AQUALINE, AQUIRE, BABS,
 BIOTECHNO, CABA, CAPLUS, CBNB, CEABA-VTB, CERAB, CIN, COMPENDEX, CONFSCI,
 COPPERLIT, CORROSION, DISSABS, ENCOMPLIT, GENBANK, INSPEC, INSPHYS, IPA,
 KOSMET, METADEX, NAPRALERT, NTIS, ...' ENTERED AT 15:24:11 ON 12 JAN 2009

L21 756 S CARVEDILOL (S) (SYNTHES#### OR PRODUC####)
 L22 515 S L21 AND (AY<2005 OR PY<2005)
 L23 149 S CARVEDILOL AND (SYNTHES### OR PRODUC####)/TI

FILE 'STNGUIDE' ENTERED AT 15:44:40 ON 12 JAN 2009

FILE 'BABS, BIOTECHNO, CABA, CAPLUS, CBNB, CIN, COMPENDEX, CONFSCI,
 INSPEC, IPA, METADEX, PASCAL, PROMT, RAPRA, SCISEARCH' ENTERED AT
 15:46:04 ON 12 JAN 2009

FILE 'STNGUIDE' ENTERED AT 15:46:05 ON 12 JAN 2009

=> log

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
 LOGOFF? (Y)/N/HOLD:y

(FILE 'HOME' ENTERED AT 13:59:29 ON 12 JAN 2009)

FILE 'REGISTRY' ENTERED AT 13:59:42 ON 12 JAN 2009
 L1 STRUCTURE UPLOADED
 D
 L2 0 SEA SSS FUL L1
 L3 STRUCTURE UPLOADED
 D
 L4 127 SEA SSS FUL L3

 FILE 'CAPLUS' ENTERED AT 14:04:14 ON 12 JAN 2009
 L5 1959 SEA SPE=ON ABB=ON PLU=ON L4
 L6 265 SEA SPE=ON ABB=ON PLU=ON L5 AND AY<2005
 SET LINE 250
 SET DETAIL OFF
 E CARVEDILOL+ALL/CT
 SET LINE LOGIN
 SET DETAIL LOGIN
 L7 245 SEA SPE=ON ABB=ON PLU=ON L6 AND (CARVEDILOL OR "2-PROPANOL,
 1-(9H-CARBAZOL-4-YLOXY)-3-((2-(2-METHOXYPHENOXY)ETHYL)AMINO)-")

 FILE 'REGISTRY' ENTERED AT 14:24:38 ON 12 JAN 2009
 L8 0 SEA SPE=ON ABB=ON PLU=ON TARUR/AU

 FILE 'REGISTRY' ENTERED AT 14:25:22 ON 12 JAN 2009

 FILE 'REGISTRY' ENTERED AT 14:25:32 ON 12 JAN 2009

 FILE 'CAPLUS' ENTERED AT 14:26:29 ON 12 JAN 2009
 E TARUR V/AU
 L9 28 SEA SPE=ON ABB=ON PLU=ON ("TARUR V R"/AU OR "TARUR VENKATASU
 BRAMANIAN R"/AU OR "TARUR VENKATASUBRAMANIAN RADHA"/AU OR
 "TARUR VENKATASUBRAMANIAN RADHAKRISHNA"/AU OR "TARUR VENKATASUB
 RAMANIAN RADHAKRISHNAN"/AU)
 E SATHE D/AU
 L10 33 SEA SPE=ON ABB=ON PLU=ON "SATHE D G"/AU OR ("SATHE DHANAJAY
 GOVIND"/AU OR "SATHE DHANANANJAY GOVIND"/AU OR "SATHE DHANANJAY
 G"/AU OR "SATHE DHANANJAY GOVIND"/AU)
 E KULKARNI S/AU
 L11 203 SEA SPE=ON ABB=ON PLU=ON "KULKARNI S"/AU OR "KULKARNI S
 J"/AU
 E KULKARNI SWA/AU
 L12 2 SEA SPE=ON ABB=ON PLU=ON ("KULKARNI SWAPNIL J"/AU OR
 "KULKARNI SWAPNIL JAYANT"/AU)
 L13 205 SEA SPE=ON ABB=ON PLU=ON L11 OR L12
 L14 243 SEA SPE=ON ABB=ON PLU=ON L9 OR L10 OR L13
 L15 1 SEA SPE=ON ABB=ON PLU=ON L14 AND L7
 D
 D L7 15 IBIB HITSTR

 FILE 'STNGUIDE' ENTERED AT 14:32:24 ON 12 JAN 2009

 FILE 'CAPLUS' ENTERED AT 14:36:16 ON 12 JAN 2009
 D L7 20 IBIB HITSTR

 FILE 'STNGUIDE' ENTERED AT 14:36:17 ON 12 JAN 2009

 FILE 'CAPLUS' ENTERED AT 14:38:28 ON 12 JAN 2009
 SET LINE 250
 SET DETAIL OFF
 E CARVEDILOL+ALL/CT
 SET LINE LOGIN
 SET DETAIL LOGIN

FILE 'REGISTRY' ENTERED AT 14:38:47 ON 12 JAN 2009
E (CARVEDILOL OR "2-PROPANOL, 1-(9H-CARBAZOL-4-YLOXY)-3-((2-(2-

FILE 'CAPLUS' ENTERED AT 14:38:47 ON 12 JAN 2009

FILE 'REGISTRY' ENTERED AT 14:39:02 ON 12 JAN 2009
E CARVEDILOL/CN

L16 17 SEA SPE=ON ABB=ON PLU=ON (CARVEDILOL/CN OR "CARVEDILOL
BENZOATE"/CN OR "CARVEDILOL CITRATE"/CN OR "CARVEDILOL
DIHYDROGEN PHOSPHATE"/CN OR "CARVEDILOL GLUCURONIDE"/CN OR
"CARVEDILOL GLUTARATE"/CN OR "CARVEDILOL HYDROGEN PHOSPHATE"/CN
OR "CARVEDILOL LACTATE"/CN OR "CARVEDILOL MALEATE"/CN OR
"CARVEDILOL MANDELATE"/CN OR "CARVEDILOL MESYLATE"/CN OR
"CARVEDILOL MONOHYDROBROMIDE"/CN OR "CARVEDILOL MONOHYDROCHLORI
DE"/CN OR "CARVEDILOL OXALATE"/CN OR "CARVEDILOL PHOSPHATE"/CN
OR "CARVEDILOL PHOSPHATE HEMIHYDRATE"/CN OR "CARVEDILOL
SALICYLATE"/CN OR "CARVEDILOL SULFATE"/CN)

FILE 'CAPLUS' ENTERED AT 14:39:41 ON 12 JAN 2009

L17 1920 SEA SPE=ON ABB=ON PLU=ON L16
L18 35 SEA SPE=ON ABB=ON PLU=ON L16/RACT
L19 39 SEA SPE=ON ABB=ON PLU=ON L16/PREP
L20 25 SEA SPE=ON ABB=ON PLU=ON L19 AND (PY<2005 OR AY<2005)
D IBIB ABS

FILE 'STNGUIDE' ENTERED AT 14:42:22 ON 12 JAN 2009

FILE 'CAPLUS' ENTERED AT 15:04:50 ON 12 JAN 2009
D 2-10 IBIB ABS

FILE 'STNGUIDE' ENTERED AT 15:04:51 ON 12 JAN 2009

FILE 'AGRICOLA, ALUMINIUM, ANABSTR, APOLLIT, AQUALINE, AQUIRE, BABS,
BIOTECHNO, CABA, CAPLUS, CBNE, CEABA-VTB, CERAB, CIN, COMPEDEX, CONFSCI,
COPPERLIT, CORROSION, DISSABS, ENCOMPLIT, GENBANK, INSPEC, INSPHYS, IPA,
KOSMET, METADEX, NAPRALERT, NTIS, ...' ENTERED AT 15:24:11 ON 12 JAN 2009

L21 756 SEA SPE=ON ABB=ON PLU=ON CARVEDILOL (S) (SYNTHES#### OR
PRODUCE####)
D RANK

L22 515 SEA SPE=ON ABB=ON PLU=ON L21 AND (AY<2005 OR PY<2005)
D 1-10

L23 149 SEA SPE=ON ABB=ON PLU=ON CARVEDILOL AND (SYNTHES### OR
PRODUCE####)/TI
D 1-10

FILE 'STNGUIDE' ENTERED AT 15:44:40 ON 12 JAN 2009

FILE 'BABS, BIOTECHNO, CABA, CAPLUS, CBNE, CIN, COMPEDEX, CONFSCI,
INSPEC, IPA, METADEX, PASCAL, PROMT, RAPRA, SCISEARCH' ENTERED AT
15:46:04 ON 12 JAN 2009
D 11-20

FILE 'STNGUIDE' ENTERED AT 15:46:05 ON 12 JAN 2009

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	1.26	839.75
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-8.20

STN INTERNATIONAL LOGOFF AT 15:57:03 ON 12 JAN 2009

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAALF1626

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 3 OCT 07 EPFULL enhanced with full implementation of EPC2000
NEWS 4 OCT 07 Multiple databases enhanced for more flexible patent
number searching
NEWS 5 OCT 22 Current-awareness alert (SDI) setup and editing
enhanced
NEWS 6 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT
Applications
NEWS 7 OCT 24 CHEMLIST enhanced with intermediate list of
pre-registered REACH substances
NEWS 8 NOV 21 CAS patent coverage to include exemplified prophetic
substances identified in English-, French-, German-,
and Japanese-language basic patents from 2004-present
NEWS 9 NOV 26 MARPAT enhanced with FSORT command
NEWS 10 NOV 26 MEDLINE year-end processing temporarily halts
availability of new fully-indexed citations
NEWS 11 NOV 26 CHEMSAFE now available on STN Easy
NEWS 12 NOV 26 Two new SET commands increase convenience of STN
searching
NEWS 13 DEC 01 ChemPort single article sales feature unavailable
NEWS 14 DEC 12 GBFULL now offers single source for full-text
coverage of complete UK patent families
NEWS 15 DEC 17 Fifty-one pharmaceutical ingredients added to PS
NEWS 16 JAN 06 The retention policy for unread STNmail messages
will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 17 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
Classification Data

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 06:41:04 ON 13 JAN 2009

=> e epichlorhydrin

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

The EXPAND command is used to look at the index in a file which has an index. This file does not have an index.

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.22

0.22

FILE 'REGISTRY' ENTERED AT 06:41:41 ON 13 JAN 2009

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STRUCTURE FILE UPDATES: 11 JAN 2009 HIGHEST RN 1093181-04-4

DICTIONARY FILE UPDATES: 11 JAN 2009 HIGHEST RN 1093181-04-4

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=> e epichlorhydrin/cn

E1	1	EPICHLON 850 METHACRYLATE-STYRENE COPOLYMER/CN
E2	1	EPICHLOR 1010/CN
E3	0 -->	EPICHLORHYDRIN/CN
E4	1	EPICHLORHYDRIN-2,2',3,3'-TETRACHLORO-4,4'-DIAMINODIPHENYLMET HANE POLYMER/CN
E5	1	EPICHLORHYDRIN-2,2',5,5'-TETRACHLORO-4,4'-DIAMINODIPHENYLMET HANE POLYMER/CN
E6	1	EPICHLORHYDRIN-FORMALDEHYDE-A-NAPHTHOL COPOLYMER/CN
E7	1	EPICHLORHYDRIN-GLUCOHEPTONOLACTONE-SORBITOL POLYMER/CN
E8	1	EPICHLORHYDRIN-GLUCONOLACTONE-DULCITOL POLYMER/CN
E9	1	EPICHLORHYDRIN-GLUCONOLACTONE-GLYCERIN POLYMER/CN
E10	1	EPICHLORHYDRIN-GLUCONOLACTONE-HYDROXYPROPYLSORBITOL POLYMER/CN
E11	1	EPICHLORHYDRIN-GLUCONOLACTONE-MANNITOL POLYMER/CN
E12	1	EPICHLORHYDRIN-GLUCONOLACTONE-PENTAERYTHRITOL POLYMER/CN

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

9.12

9.34

FILE 'REGISTRY' ENTERED AT 06:53:21 ON 13 JAN 2009
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STRUCTURE FILE UPDATES: 11 JAN 2009 HIGHEST RN 1093181-04-4
DICTIONARY FILE UPDATES: 11 JAN 2009 HIGHEST RN 1093181-04-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

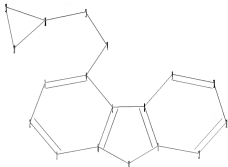
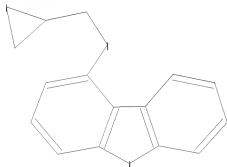
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10568732cim1b.str



chain nodes :
14 15
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 16 17 18
chain bonds :
4-14 14-15 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-8 6-13 7-8 7-12 7-13 8-9 9-10 10-11 11-12
16-17 16-18 17-18
exact/norm bonds :
4-14 5-8 6-13 7-13 14-15 16-17 16-18 17-18
exact bonds :
15-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

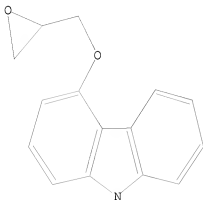
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 06:53:48 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 246 TO ITERATE

100.0% PROCESSED 246 ITERATIONS

18 ANSWERS

SEARCH TIME: 00.00.01

L2 18 SEA SSS FUL L1

=> s l2/prep

'PREP' IS NOT A VALID CROSSOVER QUALIFIER FOR L2

Answer sets created in a different file may be field qualified with a limited set of qualifiers. Enter HELP CROSSOVER at an arrow prompt (=) for specific information.

=> s l1/prep

QUALIFICATION NOT VALID FOR L1

Field code qualifications can only be applied to text terms.

=> file capl

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

186.36

195.70

FILE 'CAPLUS' ENTERED AT 06:54:24 ON 13 JAN 2009

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FILE COVERS 1907 - 13 Jan 2009 VOL 150 ISS 3
FILE LAST UPDATED: 11 Jan 2009 (20090111/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s l2
L3 55 L2

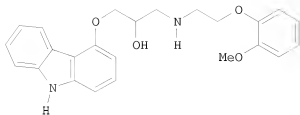
=> s l3/prep
FIELD CODES CANNOT BE CHANGED HERE
You may have tried to apply a field code to a term that already has a field code. You can only add a field code to a term that has no field code appended to it.

=> s l3 AND (ay<2005 OR py<2005)
5120813 AY<2005
25138501 PY<2005
L4 39 L3 AND (AY<2005 OR PY<2005)

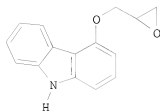
=> d 1-10 ibib abs hitstr

L4 ANSWER 1 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:714052 CAPLUS
DOCUMENT NUMBER: 148:471856
TITLE: Preparation of carvedilol
INVENTOR(S): Rao, Siripragada Mathender; Trivedi, Nikhil Rasiklal;
Sasidhar, Balla Venkata; Loganathan, Veluppillai
PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd., India
SOURCE: Indian Pat. Appl., 9pp.
CODEN: INXXBQ
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

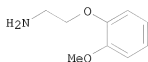
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	----	-----	-----
IN 2004CH01400	A	20070622	IN 2004-CH1400	20041220 <--
PRIORITY APPLN. INFO.:			IN 2004-CH1400	20041220
OTHER SOURCE(S):	CASREACT	148:471856		
GI				



I



II



III

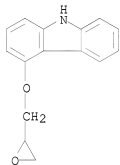
AB A process for the preparation of title compound I was disclosed. For example, condensation of epoxide II and amine III afforded title compound I in 99% purity.

IT 51997-51-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of carvedilol)

RN 51997-51-4 CAPLUS

CN 9H-Carbazole, 4-(2-oxiranylmethoxy)- (CA INDEX NAME)



L4 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1288806 CAPLUS

DOCUMENT NUMBER: 144:22811

TITLE: A novel process for the preparation of
1-(9H-carbazol-4-yloxy)-3-[[2-(-methoxyphenoxy)-ethyl]
amino]-propan-2-ol (carvedilol)

INVENTOR(S): Tarur, Venkatasubramanian Radhakrishnan; Sathe,
Dhananjay Govind; Kulkarni, Swapnil Jayant

PATENT ASSIGNEE(S): USV Limited, India

SOURCE: PCT Int. Appl., 14 pp.

CODEN: PIXXD2

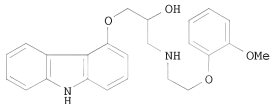
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005115981	A2	20051208	WO 2005-IN139	20050503
WO 2005115981	A3	20060119		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
IN 2004MU00479	A	20060616	IN 2004-MU479	20040422 <--
US 20070191456	A1	20070816	US 2006-568732	20061227
PRIORITY APPLN. INFO.:			IN 2004-MU479	A 20040422
			WO 2005-IN139	W 20050503
OTHER SOURCE(S):		CASREACT 144:22811		
GI				

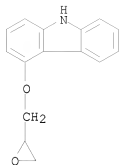


AB This invention disclosed a novel process for preparation of carvedilol (I) in high purity by using eco friendly solvents. The process comprised reacting 4-hydroxycarbazole with epichlorhydrin in presence of an organic solvent and a base at temps. between 10° and 30°, and then reacting the resultant 4-(2,3-epoxypropoxy)carbazole with a salt of 2-(2-methoxyphenoxy)ethylamine, preferably the hydrochloride salt, in presence of a base and a hydroxylic solvent at temps. between 30° and 90°.

IT 51997-51-4P, 4-(2,3-Epoxypropoxy)carbazole
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (eco friendly process for the preparation of carvedilol, a pharmaceutically useful adrenergic β -receptor antagonist)

RN 51997-51-4 CAPLUS

CN 9H-Carbazole, 4-(2-oxiranylmethoxy)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2009 ACS ON STN
 ACCESSION NUMBER: 2005:1128799 CAPLUS
 DOCUMENT NUMBER: 143:386916
 TITLE: An improved process for the manufacture of carvedilol
 INVENTOR(S): Kankan, Rajendra Narayan Rao; Rao, Dharamraj
 Ramchandra
 PATENT ASSIGNEE(S): Cipla Ltd., India
 SOURCE: Indian, 11 pp.
 CODEN: INXXAP
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 186587	A1	20011006	IN 1999-B0583	19990817 <--
PRIORITY APPLN. INFO.:			IN 1999-B0583	19990817
OTHER SOURCE(S):			CASREACT 143:386916; MARPAT 143:386916	

GI

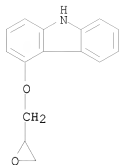
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An improved process for the manufacture of Carvedilol I, a potent antihypertensive (no biol. data given) by catalytic hydrogenation of N-substituted Carvedilol II [R1 = (un)substituted CH2Ph; formed by reacting carbazole III with a substituted amine IV]. Thus, N-alkylating benzylamine with 2-(2-methoxyphenoxy)ethyl bromide followed by reaction of the resulting N-[2-(2-methoxyphenoxy)ethyl]benzenemethanamine hydrochloride with 4-(2,3-epoxypropoxy)carbazole, and subsequent hydrogenation of the II [R1 = CH2Ph] afforded carvedilol I.

IT 51997-51-4, 4-(2,3-Epoxypropoxy)carbazole
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (improved process for the manufacture of carvedilol)

RN 51997-51-4 CAPLUS

CN 9H-Carbazole, 4-(2-oxiranylmethoxy)- (CA INDEX NAME)



L4 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:962205 CAPLUS

DOCUMENT NUMBER: 143:266815

DOCUMENT NUMBER: 136700010
TITLE: Process for the manufacture of racemic carvedilol from
4-(oxiran-2-ylmethoxy)-9H-carbazole and
2-(2-methoxyphenoxy)ethylamine

INVENTOR(S): Shah, Dhiraj R.; Naik, Ashish P.; Purohit, Parva Y.;
Sharma, Rajivkumar; Agarwal, Virendra Kumar

PATENT ASSIGNEE(S): Cadila Healthcare Limited, India

SOURCE: PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

DOCUMENT TYPE: Facsimile
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

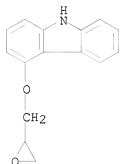
PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPLICATION NO.		DATE
WO 2005080329		A2	20050901	WO 2005-IN56		20050222
WO 2005080329		A3	20060928			
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, BG, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NY, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UD, VC, VN, YU, ZA, ZM, ZW, SM					
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
IN 2004MU00219		A	20060120	IN 2004-MU219		20040223 <--
CA 2560353		A1	20050901	CA 2005-2560353		20050222
EP 1723107		A2	20061122	EP 2005-747343		20050222
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU					
US 20080214833		A1	20080904	US 2007-589998		20071116
PRIORITY APPLN. INFO.:				IN 2004-MU219		A 20040223
				WO 2005-IN56		W 20050222

OTHER SOURCE(S): CASREACT 143:266815; MARPAT 143:266815

AB Carvedilol of high HPLC purity (>99.5 %) is prepared by the ring-opening addition reaction of 4-(oxiran-2-ylmethoxy)-9H-carbazole with 2-(2-methoxyphenoxy)ethylamine followed by salification of the impure carvedilol with an organic acid (e.g., salicylic acid) and neutralization of the carvedilol salt (e.g., carvedilol salicylate) with a base to give pure carvedilol.

carvedilol.
 IT 51997-51-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (process for the manufacture of racemic carvedilol from
 4-(oxiran-2-ylmethoxy)-9H-carbazole and 2-(2-methoxyphenoxy)ethylamine)
 RN 51997-51-4 CAPLUS
 CN 9H-Carbazole, 4-(2-oxiranylmethoxy)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:547600 CAPLUS

DOCUMENT NUMBER: 143:59848

TITLE: Preparation of aminomethyl chromane derivatives as
 beta-3 adrenoreceptor agonists

INVENTOR(S): Boyer, Stephen J.; Hashimoto, Kentaro; Roelle, Thomas;
 Sandner, Peter; Stelte-Ludwig, Beatrix; Tinel, Hanna;
 Henninger, Kerstin; Concepcion, Arnel; Sakurai, Osamu;
 Hirai, Kanako; Inoue, Tadashi; Mochizuki, Yuki;
 Nunami, Noriko; Tajimi, Masaomi; Yamamoto, Noriyuki;
 Tsukimi, Yasuhiro

PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

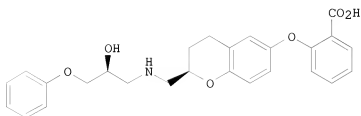
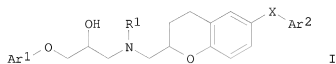
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005056544	A1	20050623	WO 2004-EP13677	20041202 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050222247	A1	20051006	US 2004-996230	20041123 <--
CA 2548922	A1	20050623	CA 2004-2548922	20041202 <--
EP 1694664	A1	20060830	EP 2004-803429	20041202 <--

R: DE, ES, FR, GB, IT
PRIORITY APPLN. INFO.:

EP 2003-28781
WO 2004-EP13677

A 20031213
W 20041202

OTHER SOURCE(S): CASREACT 143:59848; MARPAT 143:59848
GI



AB Title compds. I [R1 = H, alkyl; X = O, NR2; R2 = H, alkyl; Ar1 = (un)substituted Ph, 5-14 membered heteroaryl containing 1-3 heteroatoms selected from O, S, or N; Ar2 = (un)substituted Ph, 5-6-membered-heteroaryl containing 1-2 heteroatoms selected from O, S, or N] and their pharmaceutically acceptable salts, are prepared and disclosed as beta-3 adrenoceptor agonists. Thus, e.g., II was prepared by etherification of tert-Bu (2S)-2-([tert-butyl-(dimethyl)silyl]oxy)-3-phenoxypropyl[(2R)-6-iodo-3,4-dihydro-2H-chromen-2-yl]methyl]carbamate (preparation given) with Me salicylate followed by deprotection and subsequent hydrolysis of the Me ester. The agonistic activity of I towards beta-3-adrenoceptor was evaluated by measurement of cAMP production in SK-N-MC cells and it was revealed that selected compds. of the invention possessed EC50 values in the range of 14 up to 270 nM. I as beta-3 adrenoceptor agonist should prove useful in the treatment of urol. disorders such as, but not limited to, overactive bladder and urinary incontinence. Pharmaceutically compns. comprising I are disclosed.

IT 95093-95-1

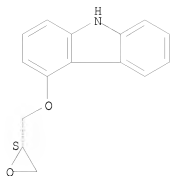
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of aminomethyl chromane derivs. as beta-3 adrenoceptor agonists)

RN 95093-95-1 CAPLUS

CN 9H-Carbazole, 4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:1154673 CAPLUS

DOCUMENT NUMBER: 142:93675

TITLE: A process for preparation of
1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]propan-2-ol
INVENTOR(S): Chhabada, Vijay Chhangamal; Rehani, Rajeev Budhdev;
Thennati, Rajamannar
PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113296	A1	20041229	WO 2004-IN52	20040304 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
IN 2003MU00647	A	20050211	IN 2003-MU647	20030620 <--
US 20060270858	A1	20061130	US 2005-553957	20051019
PRIORITY APPLN. INFO.:			IN 2003-MU647	A 20030620
			IN 2003-MU721	A 20030717
			WO 2004-IN52	W 20040304
OTHER SOURCE(S):	CASREACT 142:93675; MARPAT 142:93675			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides a process for preparation of

1-[9H-carbazol-4-yloxy]-3-[[2-(2-methoxyphenoxy)ethyl]amino]-propan-2-ol (I) in racemic form or in the form of optically active R or S enantiomer or its pharmaceutically acceptable salt, comprising, reacting 4-(oxiranylmethoxy)-9H-carbazole (II) or the R or S enantiomer thereof with a compound of formula (III) (wherein R1 = benzyl or substituted benzyl), in an aprotic organic solvent in presence of a catalyst to obtain a compound of formula (IV) (wherein R1 is as defined above), or the R or S enantiomer thereof. The resultant compound IV is subjected to debenzylation reaction by catalytic hydrogenation to obtain the compound I, if desired converting the resultant compound I to a pharmaceutically acceptable salt thereof. Thus, to 400 mL EtOAc, 70 g (0.27 mol) anhydrous N-[2-[2-(methoxyphenoxy)ethyl]benzylamine, 10.25 g (0.075 mol) anhydrous ZnCl₂, and 50 g (0.21 mol) 4-(oxiranylmethoxy)-9H-carbazole were added and the reaction mixture was heated to 70-75° for 3 h (TLC control for checking conversion to N-benzylcarvedilol), cooled to ambient temperature, and quenched into 100 mL 12-15% aqueous NH₃. The aqueous layer was separated, and

the

product enriched organic layer was washed with water till neutral Ph, treated with charcoal, and filtered. To this solution of N-benzyl carvedilol in EtOAc, 7 g wet 5% Pd/C catalyst (50% moisture content) was added and the reaction mixture was hydrogenated at 3.5-4.5 Kg/cm² at temperature 60-70° for a period of about 10 h and filtered. The filtrate was concentrated to remove EtOAc. To the resultant syrupy mass n-butanol (100 mL) was added and the solution was stirred for .apprx.10 h. The crystals were separated by filtration, washed successively with n-butanol (50 mL) and toluene (50 mL) to obtain carvedilol (47 g) which was recrystd. from 3 vols. EtOAc to obtain carvedilol (42 g).

IT 51997-51-4, 4-(Oxiranylmethoxy)-9H-carbazole 95093-95-1,

(S)-4-(Oxiranylmethoxy)-9H-carbazole 95093-96-2,

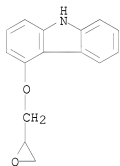
(R)-4-(Oxiranylmethoxy)-9H-carbazole

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of carvedilol by amination of oxiranylmethoxycarbazole with N-(methoxyphenoxyethyl)benzylamine and hydrogenolysis of N-benzylcarvedilol)

RN 51997-51-4 CAPLUS

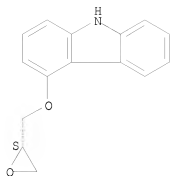
CN 9H-Carbazole, 4-(2-oxiranylmethoxy)- (CA INDEX NAME)



RN 95093-95-1 CAPLUS

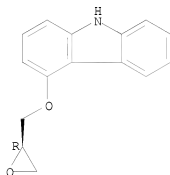
CN 9H-Carbazole, 4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 95093-96-2 CAPLUS
 CN 9H-Carbazole, 4-[(2R)-2-oxiranylmethoxy]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:927171 CAPLUS

DOCUMENT NUMBER: 141:395415

TITLE: Process for the preparation of crystalline carvedilol form-II

INVENTOR(S): Ramanjaneyulu, Gorantla Seeta; Kumar, Indukuri Venkata Sunil; Rao, Ketavarapu Narasimha; Kishore, Jammula Vera Venkata Krishna

PATENT ASSIGNEE(S): Matrix Laboratories Ltd., India

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004094378	A1	20041104	WO 2004-IN104	20040416 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
 SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
 TD, TG

IN 2003MA00328 A 20070518 IN 2003-MA328 20030421 <--
 EP 1615888 A1 20060118 EP 2004-727971 20040416 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
 US 20070055069 A1 20070308 US 2005-552843 20051012
 US 7468442 B2 20081223

PRIORITY APPLN. INFO.: IN 2003-MA328 A 20030421
 WO 2004-IN104 W 20040416

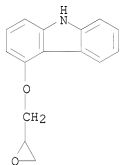
OTHER SOURCE(S): CASREACT 141:395415

AB The present invention provides a cost-effective, industrially feasible
 process for the manufacture of crystalline carvedilol form-II using novel
 carvedilol
 salts comprising a step of reacting 4-(2,3-epoxypropoxy)carbazole with
 2-(2-methoxyphenoxy)ethylamine followed by acidification with mineral acid
 in presence of an organic solvent to yield acid addition salts, (e.g.
 carvedilol
 oxalate), treatment of the said salts with base(s) in presence of organic
 solvent(s), water, and isolation from the organic solvent(s) followed by
 crystallization from Et acetate.

IT 51997-51-4P, 4-(2,3-Epoxypropoxy)carbazole
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
 preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of crystalline carvedilol form-II by reaction of
 4-(2,3-epoxypropoxy)carbazole with 2-(2-methoxyphenoxy)ethylamine)

RN 51997-51-4 CAPLUS

CN 9H-Carbazole, 4-(2-oxiranylmethoxy)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:412919 CAPLUS

DOCUMENT NUMBER: 140:406735

TITLE: Process for the preparation of carvedilol from
 4-(oxirane-2-ylmethoxy)-9H-carbazole and
 2-(2-methoxyphenoxy)ethylamine salts

INVENTOR(S): Hercek, Richard; Skoda, Alojz; Proksa, Bohumil

PATENT ASSIGNEE(S): Zentiva, A.S., Slovakia

SOURCE: PCT Int. Appl., 13 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004041783	A1	20040521	WO 2003-SK20	20031104 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
SK 285547	B6	20070301	SK 2002-1595	20021108 <--
AU 2003301861	A1	20040607	AU 2003-301861	20031104 <--
EP 1558575	A1	20050803	EP 2003-810732	20031104 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
US 20060167077	A1	20060727	US 2005-533809	20050505
PRIORITY APPLN. INFO.:			SK 2002-1595	A 20021108
			WO 2003-SK20	W 20031104

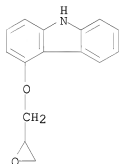
OTHER SOURCE(S): CASREACT 140:406735

AB Carvedilol is prepared in high yield and selectivity by the reaction of 4-(oxirane-2-ylmethoxy)-9H-carbazole with acid-addition salts of 2-(2-methoxyphenoxy)ethylamine [e.g., 2-(2-methoxyphenoxy)ethylamine hydrochloride] in the presence of a base (e.g., potassium carbonate) in an C2-5 alc. solvent (e.g., isopropanol) at an elevated temperature (e.g., 83°).

IT 51997-51-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the preparation of carvedilol from 4-(oxirane-2-ylmethoxy)-9H-carbazole and 2-(2-methoxyphenoxy)ethylamine salts)

RN 51997-51-4 CAPLUS

CN 9H-Carbazole, 4-(2-oxiranylmethoxy)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

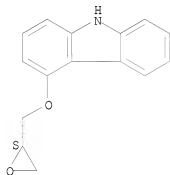
ACCESSION NUMBER: 2003:442761 CAPLUS

DOCUMENT NUMBER: 139:239654

TITLE: Cyclic amine sulfonamides as linkers in the design and synthesis of novel human $\beta 3$ adrenergic receptor

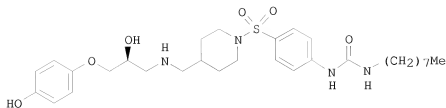
agonists
 AUTHOR(S): Sum, Fuk-Wah; Wong, Victoria; Han, Stella; Largis, Elwood; Mulvey, Ruth; Tillett, Jeff
 CORPORATE SOURCE: Chemical Sciences, Wyeth Research, Pearl River, NY, 10965, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(13), 2191-2194
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 139:239654
 AB Piperidine, pyrrolidine, and azetidine sulfonamides were examined as linkers in designing novel human β_3 adrenergic receptor (β_3 -AR) agonists. The azetidine derivative, and piperidine derivs. were found to be potent β_3 -AR agonists and have good selectivity against β_1 - and β_2 -AR.
 IT 95093-95-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (cyclic amine sulfonamides as linkers in design and synthesis of novel human β_3 adrenergic receptor agonists and their structure-activity relationship studies)
 RN 95093-95-1 CAPLUS
 CN 9H-Carbazole, 4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

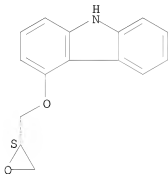
L4 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2002:732389 CAPLUS
 DOCUMENT NUMBER: 138:237978
 TITLE: Novel substituted 4-aminomethylpiperidines as potent and selective human β_3 -agonists. Part 1: Aryloxypropanolaminomethylpiperidines
 AUTHOR(S): Steffan, Robert J.; Ashwell, Mark A.; Solvibile, William R.; Matelan, Edward; Largis, Elwood; Han, Stella; Tillett, Jeffery; Mulvey, Ruth
 CORPORATE SOURCE: Chemical Sciences, Wyeth Research, Collegeville, PA, 19426, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(20), 2957-2961
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



I

AB	The synthesis and SAR of a series of human β_3 adrenoreceptor agonists based on a template derived from a common pharmacophore coupled with 4-aminomethylpiperidine is described. Potent and selective agents were identified, such as I that was in vitro active in CHO cells expressing human β_3 -AR (EC50=49 nM, IA = 1.1), and in vivo active in a transgenic mouse model.
IT	95093-95-1P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of N-piperidinomethylaryloxypropanolamines as potent and selective human β_3 -agonists)
RN	95093-95-1 CAPLUS
CN	9H-Carbazole, 4-[(2S)-2-oxiranymethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL STNGUIDE
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
62.88	258.58

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-8.20	-8.20

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Jan 9, 2009 (20090109/UP).

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YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L4 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:556143 CAPLUS
DOCUMENT NUMBER: 137:125080
TITLE: Process for preparing heterocyclic indene analogs by
cyclocarbonylation at moderate temperatures and
catalyst loading
INVENTOR(S): Scalone, Michelangelo; Zeibig, Thomas Albert
PATENT ASSIGNEE(S): Hoffmann-LaRoche Inc., Switz.
SOURCE: U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

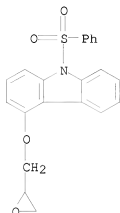
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020099223	A1	20020725	US 2002-54462	20020122 <--
US 6777559	B2	20040817		
CA 2434408	A1	20020801	CA 2002-2434408	20020122 <--
WO 2002059089	A2	20020801	WO 2002-EP583	20020122 <--
WO 2002059089	A3	20021031		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002247645	A1	20020806	AU 2002-247645	20020122 <--
EP 1355880	A2	20031029	EP 2002-716673	20020122 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004519465	T	20040702	JP 2002-559391	20020122 <--
JP 4056883	B2	20080305		
CN 1266131	C	20060726	CN 2002-804120	20020122 <--
IN 2003CN01126	A	20050422	IN 2003-CN1126	20030722 <--
MX 2003PA06606	A	20030922	MX 2003-PA6606	20030723 <--
US 20040127723	A1	20040701	US 2004-763296	20040122 <--
US 7169935	B2	20070130		
PRIORITY APPLN. INFO.:				
			EP 2001-101584	A 20010125
			US 2002-54462	A3 20020122
			WO 2002-EP583	W 20020122
OTHER SOURCE(S): CASREACT 137:125080; MARPAT 137:125080				
AB A process for the preparation heterocyclic indene analogs, especially with the preparation of 4-hydroxycarbazole or N-protected 4-hydroxycarbazole, involves cyclocarbonylation followed by saponification This process avoids high temps. and high catalyst loadings.				
IT 444105-40-2P				

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temps. and catalyst loading)

RN 444105-40-2 CAPLUS

CN 9H-Carbazole, 4-(2-oxiranylmethoxy)-9-(phenylsulfonyl)- (CA INDEX NAME)



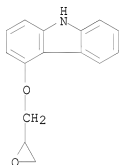
IT 51997-51-4P, 4-Oxiranylmethoxy-9H-carbazole

RL: IMF (Industrial manufacture); PREP (Preparation)

(process for preparing heterocyclic indene analogs by cyclocarbonylation at moderate temps. and catalyst loading)

RN 51997-51-4 CAPLUS

CN 9H-Carbazole, 4-(2-oxiranylmethoxy)- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:240716 CAPLUS

DOCUMENT NUMBER: 136:279196

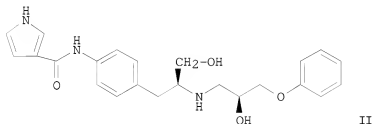
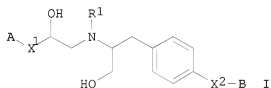
TITLE: Preparation and use of amino alcohol derivatives for treatment of urinary incontinence
INVENTOR(S): Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Imanishi, Masashi; Nakajima, Yutaka; Ohtake, Hiroaki; Korada, Satoru; Murata, Masayoshi; Kayakiri, Hiroshi; Fujii, Naoaki; Taniguchi, Kiyoshi

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 112 pp.

DOCUMENT TYPE: CODEN: PIXXD2
 LANGUAGE: Patent
 FAMILY ACC. NUM. COUNT: 1 English
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024635	A2	20020328	WO 2001-JP8155	20010919 <--
WO 2002024635	A3	20030220		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090246	A	20020402	AU 2001-90246	20010919 <--
JP 2004509162	T	20040325	JP 2002-528649	20010919 <--
US 20040037022	A1	20040226	US 2003-380627	20030321 <--
US 6826033	B2	20041130		
PRIORITY APPLN. INFO.:			AU 2000-340	A 20000925
			WO 2001-JP8155	W 20010919
OTHER SOURCE(S): MARPAT 136:279196				
GI				

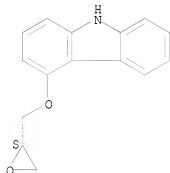


AB Title compds. I [X1 = bond, OCH2; X2 = (NR2CO)n, NHC(O)Y1; R2 = H, alkyl; n = 1-2; Y1 = NR3; R3 = H, alkyl, etc.; R1 = H, amino protective group; A = Ph, indolyl, carbazolyl; B = H, halo, alkyl, alkoxy-carbonyl, cycloalkyl, heterocyclic, naphthyl, 1,2,3,4-tetrahydronaphthyl, benzyl, phenyl] were prepared For instance, (2S)-2-(phenoxy-methyl)oxirane was reacted with (2S)-2-amino-3-(4-nitrophenyl)-1-propanol to give (2S)-3-(4-nitrophenyl)-2-[(1(2S)-2-hydroxy-3-phenoxypropyl)amino]-1-propanol. This intermediate was protected as the N-Boc derivative which was then reduced (MeOH/aq, 10% Pd-C, H2-1 atm) to give the corresponding

aminophenyl derivative Carbodiimide coupling of this amine with 3-carboxypyrrole followed by deprotection provided II. II showed 2.6 ± 0.05 mm Hg increase in intravesical pressure (compared to 7.0 ± 1.0 mm Hg control) induced by carbachol in anesthetized dog. I are useful for the prophylactic and/or the therapeutic treatment of pollakiures or urinary incontinence.

IT 95093-95-1, (S)-4-(2-Oxiranylmethoxy)carbazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation and use of amino alc. derivs. for treatment of urinary incontinence)
RN 95093-95-1 CAPLUS
CN 9H-Carbazole, 4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:232732 CAPLUS

DOCUMENT NUMBER: 137:306696

TITLE: Synthesis and evaluation of
(S)-[18F]-fluoroethylcarazolol for in vivo
 β -adrenoceptor imaging in the brain

AUTHOR(S): Doze, P.; van Waarde, A.; Tewson, T. J.; Vaalburg, W.;
Elsinga, P. H.

CORPORATE SOURCE: PET Center, Groningen University Hospital, Groningen,
9700 RB, Neth.

SOURCE: Neurochemistry International (2002), 41(1),
17-27

CODEN: NEUIDS; ISSN: 0197-0186

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The β -adrenergic receptor ligand

(S)-4-(3-(2'-[18F]-fluoroethylamino)-2-hydroxypropoxy)-carbazol
((S)-[18F]-fluoroethylcarazolol) was prepared by reaction of
[18F]-fluoroethylamine with the corresponding (S)-epoxide and was
evaluated in rats by studying its pharmacokinetics and its binding profile
both in vitro and in vivo. In vitro, (S)-fluoroethylcarazolol binds
preferentially to β -adrenoceptors ($pK_i=9.3$ for β_1 and 9.4 for
 β_2) and has less affinity to 5HT_{1A} and 5HT_{1D} receptors ($pK_i=6.7$ and
5.2). In vivo, standard uptake values (SUVs) up to 0.63 ± 0.07 in cortical
regions were found after 60 min. Metabolites (90%) appeared within 10 min
in plasma, whereas, in brain 70-75% parent compound was found after 60 min.
Clearance from plasma occurred within 5 min. Cerebral uptake could be
blocked by 'cold' fluoroethylcarazolol in every region, except medulla.
Uptake was also blocked by propranolol and pindolol, but not by WAY

100635. ICI 89406 hardly lowered [18F] levels in brain. ICI 118551 reduced uptake of [18F] in cerebellum (mainly β_2) by 30%. Specific binding (tissue minus medulla values) in various brain regions corresponded with those observed for [18F]-fluorocarazolol ($r_2=0.95$) and with in vitro β -adrenoceptor densities ($r_2=0.76$). Autoradiog. using phosphor images of (S)-[18F]-fluoroethylcarazolol in rat brain showed the characteristic binding pattern of β -antagonists, while propranolol treatment resulted in low and homogeneous uptake. Regional tissue minus medulla values corresponded with in vitro β -adrenoceptor densities ($r_2=0.77$). We conclude that (S)-[18F]-fluoroethylcarazolol is a high affinity ligand that binds specifically to cerebral β -adrenoceptors in vivo and may be of use for β -adrenoceptor imaging in the brain with PET.

IT 95093-95-1 95093-96-2

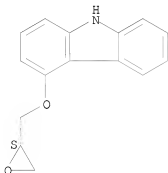
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation and evaluation of (S)-[18F]-fluoroethylcarazolol for brain β -adrenoceptor imaging)

RN 95093-95-1 CAPLUS

CN 9H-Carbazole, 4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

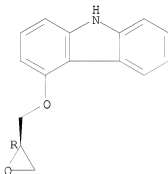
Absolute stereochemistry.



RN 95093-96-2 CAPLUS

CN 9H-Carbazole, 4-[(2R)-2-oxiranylmethoxy]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

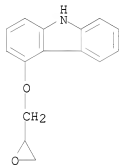
L4 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:180462 CAPLUS

DOCUMENT NUMBER: 137:288465

TITLE: Synthesis and bioactivity of

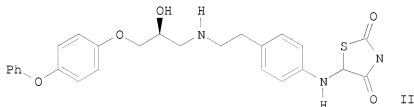
1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compounds
 AUTHOR(S): Wang, Lichen; Zhang, Yiyun; Zhang, Luyong; Jiang, Zhenzhou
 CORPORATE SOURCE: Department of Organic Chemistry, Center of Drug Pharmacokinetics, China Pharmaceutical University, Nanjing, 210009, Peop. Rep. China
 SOURCE: Zhongguo Yaoke Daxue Xuebao (2001), 32(6), 408-411
 CODEN: ZHYXE9; ISSN: 1000-5048
 PUBLISHER: Zhongguo Yaoke Daxue
 DOCUMENT TYPE: Journal
 LANGUAGE: Chinese
 OTHER SOURCE(S): CASREACT 137:288465
 AB The new compds. with β -adrenergic receptor antagonistic action were screened. Using carbazolol as a lead compound, 1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compds. were designed and synthesized of which all were not reported previously. Their structures were identified by IR, ¹HNMR, EA, or HRMS. The preliminary biol. tests suggested that all the ten compds. can inhibit isoprenaline-induced tachycardia to different extents, and three of them showed better activity.
 IT 51997-51-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis and bioactivity of 1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compds.)
 RN 51997-51-4 CAPLUS
 CN 9H-Carbazole, 4-(2-oxiranylmethoxy)- (CA INDEX NAME)



L4 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2002:72073 CAPLUS
 DOCUMENT NUMBER: 136:134753
 TITLE: Preparation of arylaminothizolidines and analogs as β_3 adrenergic receptor agonists
 INVENTOR(S): Malamas, Michael Sotirios; Largis, Elwood Eugene; Gunawan, Iwan; Li, Zenan
 PATENT ASSIGNEE(S): American Home Products Corporation, USA
 SOURCE: PCT Int. Appl., 70 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002006258	A1	20020124	WO 2001-US22408	20010716 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20020032222	A1	20020314	US 2001-904161	20010712 <--
US 6465501	B2	20021015		
US 20030055079	A1	20030320	US 2002-227225	20020823 <--
US 6569873	B2	20030527		
PRIORITY APPLN. INFO.:			US 2000-218706P	P 20000717
			US 2001-904161	A3 20010712
OTHER SOURCE(S):		MARPAT 136:134753		
GI				



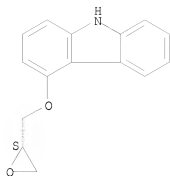
AB R1Z1CH(OH)CH2NHCHR4Z2Z3NR5ZR6 [I; R1 = (un)substituted Ph, -pyridyl, etc.; R4 = H or alkyl; R5 = H, alkyl, alkoxy, carbonyl, aryl, etc.; R6 = H, alkyl, aryl(alkyl); Z = e.g., 2,4-dioxothiazolidine-5,3-diyl; Z1 = bond, OCH2, SCH2; Z2 = bond, C1-6 alkyl (sic), C1-6 alkoxy (sic); Z3 = phenylene, naphthylene, benzofurylene, benzothienylene] were prepared thus, (S)-oxiranylmethyl 3-nitrobenzenesulfonate was etherified by 4-(PhO)C6H4OH and the product aminated by 4-(H2N)C6H4CH2CH2NH2 to give, after N-protection, (S)-4-(PhO)C6H4OCH2CH(OH)CH2N(CO2CMe3)CH2CH2C6H4(NH2)-4 which was N-alkylated by 5-bromothiazolidine-2,4-dione to give, after deprotection, title compound II. Data for biol. activity of I were given.

IT 95093-95-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of arylaminothiazolidines and analogs as β 3 adrenergic receptor agonists)

RN 95093-95-1 CAPLUS

CN 9H-Carbazole, 4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:72070 CAPLUS

DOCUMENT NUMBER: 136:134677

TITLE: Substituted 2-(S)-hydroxy-3-[(piperidin-4-yl-methyl)amino]propyl ethers and substituted 2-aryl-2-(R)-hydroxy-1-(piperidin-4-yl-methyl)ethylamines as beta-3 adrenergic receptor agonists, antidiabetics, and antiobesity agents
INVENTOR(S): Steffan, Robert John; Ashwell, Mark Anthony; Pelletier, Jeffrey Claude; Solvibile, William Ronald; Matelan, Edward Martin

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 216 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006255	A2	20020124	WO 2001-US22363	20010716 <--
WO 2002006255	A3	20020321		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 20020037907	A1	20020328	US 2001-903738	20010712 <--
US 6506901	B2	20030114		
PRIORITY APPLN. INFO.:			US 2000-218753P	P 20000717
OTHER SOURCE(S):	MARPAT 136:134677			

GI

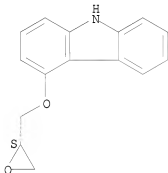
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides title compds. I and their pharmaceutically

acceptable salts [wherein A = OCH₂, bond; R = (un)substituted aryl or certain N/O/S heterocyclyl; R₁ = (cyclo)alkyl, alkoxy, (cyclo)alkylamino, (un)substituted aryl, arylamino, arylalkyl, or heterocyclyl; Z = bond, SO₂, CO]. I are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenic inflammation, glaucoma, ocular hypertension, and frequent urination. The compds. are particularly useful in the treatment or inhibition of type II diabetes. They are also useful for increasing lean meat deposition and/or increasing the lean meat to fat ratio in animals, particularly mammals. Approx. 240 individual compds. and addnl. salts were prepared by either standard or combinatorial methods. For instance, invention compound II was prepared by reaction of the (S)-isomeric epoxide III with the corresponding amine. II had an EC₅₀ of 0.001 μM against cloned human β₃ adrenoceptors in vitro, with a maximal response comparable to isoproterenol.

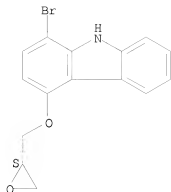
IT 95093-95-1P, 4-(((2S)-Oxiranyl)methoxy)-9H-carbazole
 392690-75-4P, 1-Bromo-4-(((2S)-oxiranyl)methoxy)-9H-carbazole
 392690-76-5P, 3-Bromo-4-(((2S)-oxiranyl)methoxy)-9H-carbazole
 392690-77-6P, 1-Chloro-4-(((2S)-oxiranyl)methoxy)-9H-carbazole
 392690-78-7P, 3-Chloro-4-(((2S)-oxiranyl)methoxy)-9H-carbazole
 392690-79-8P, 3-Hydroxy-4-(((2S)-oxiranyl)methoxy)-9H-carbazole
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of piperidine hydroxyaminopropyl ether and hydroxyethylamine derivs. as β₃ adrenergic receptor agonists, antidiabetics, and antiobesity agents)
 RN 95093-95-1 CAPLUS
 CN 9H-Carbazole, 4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 392690-75-4 CAPLUS
 CN 9H-Carbazole, 1-bromo-4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

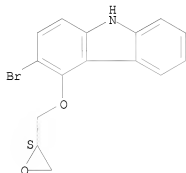
Absolute stereochemistry.



RN 392690-76-5 CAPLUS

CN 9H-Carbazole, 3-bromo-4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

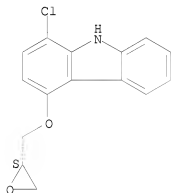
Absolute stereochemistry.



RN 392690-77-6 CAPLUS

CN 9H-Carbazole, 1-chloro-4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

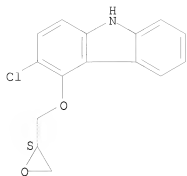
Absolute stereochemistry.



RN 392690-78-7 CAPLUS

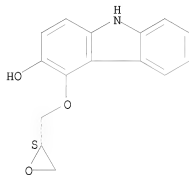
CN 9H-Carbazole, 3-chloro-4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 392690-79-8 CAPLUS
 CN 9H-Carbazol-3-yl, 4-[(2S)-2-oxiranymethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



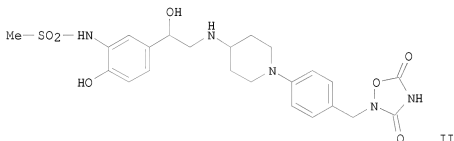
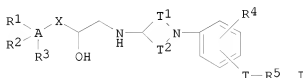
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2002:72047 CAPLUS
 DOCUMENT NUMBER: 136:134676
 TITLE: Preparation of cyclic amine phenyl β 3 adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia
 INVENTOR(S): Hu, Baihua; Sum, Fuk-Wah; Malamas, Michael Sotirios
 PATENT ASSIGNEE(S): American Home Products Corporation, USA
 SOURCE: PCI Int. Appl., 235 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006232	A1	20020124	WO 2001-US22387	20010716 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,				

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US 20020028835	A1 20020307	US 2001-903754 20010712 <--
US 6525202	B2 20030225	
CA 2416245	A1 20020124	CA 2001-2416245 20010716 <--
EP 1301482	A1 20030416	EP 2001-984234 20010716 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,		
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
BR 2001012522	A 20030624	BR 2001-12522 20010716 <--
JP 2004504299	T 20040212	JP 2002-512136 20010716 <--
US 20030144326	A1 20030731	US 2002-330576 20021227 <--
US 7022716	B2 20060404	
MX 2003PA00518	A 20030514	MX 2003-PA518 20030117 <--
PRIORITY APPLN. INFO.:	US 2000-218627P	P 20000717
	US 2001-903754	A3 20010712
	WO 2001-US22387	W 20010716

OTHER SOURCE(S): MARPAT 136:134676
GI



AB Title compds. I [wherein A = (hetero)aryl or heterocyclyl; X = OCH2, SCH2, or a bond; T1 = (CH2)m; T2 = (CH2)n; m = 1-3; n = 1-3; T = a bond, (un)substituted alkyl or alkenyl, alkynyl, alkylthio, alkylamino, alkoxy(alkyl), alkylthioalkyl, acyl, or alkenylcarbonyl; R1, R2, and R3 = independently H, (cyclo)alkyl, OH, halo, CF3, alkoxy, benzyloxy, allyloxy, propargyloxy, acyloxy, CN, NO2, NH2, CONH2, (di)alkylamino, formamido, ureido, acylamino, alkylsulfonylamino, arylsulfonylamino, dialkylloxyposphorylamino, dihydroxyphosphorylamino, alkoxycarbonyl, or (un)substituted aryl; R4 = H, alkyl, halo, OH, alkoxy, alkylthio, (alkyl)amino, carboxy, acyl, arylcarbonyl, alkoxycarbonyl, CONH2, alkylaminocarbonyl, alkylsulfonyl, or arylsulfonylamino; R5 = (un)substituted (di)oxoimidazolidinyl, (di)oxoazolidinyl, (di)oxothiazolidinyl, dioxoaxadiazolidinyl, tetrazolyl, oxopyrrolinyl, alkoxycarbonyl, aminocarbonyl, acyl, ureido, etc.; or a pharmaceutically acceptable salt thereof] were prepared by standard and combinatorial synthetic methods as β_3 adrenergic receptor agonists. For example, acetic acid was added to a mixture of N-[5-[(1R)-2-amino-1-hydroxyethyl]-2-hydroxyphenyl]methanesulfonamide (preparation given), 2-[4-(4-oxo-1-piperidinyl)benzyl]-1,2,4-oxadiazolidine-3,5-dione, and DMF. Sodium triacetoxylborohydride was added and the mixture stirred at room temperature for 24 h to give (R)-I (71%). The latter bound to the β_3 adrenergic receptor with EC50 of 20 μ M, exhibited a maximal response activity

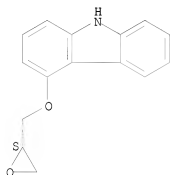
equivalent to isoproterenol, and increased thermogenesis in $\beta 3$ transgenic mice by $30 \pm 8\%$ compared to an increase of $16 \pm 4\%$ in $\beta 3$ knockout mice. Thus, I are useful in treating or inhibiting metabolic disorders related to insulin resistance or hyperglycemia (typically associated with obesity or glucose intolerance), atherosclerosis, gastrointestinal disorders, neurogenetic inflammation, glaucoma, ocular hypertension, frequent urination, and are particularly useful in the treatment or inhibition II diabetes.

IT 95093-95-1, (2S)-3-(9H-Carbazol-4-yloxy)methyloxirane
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation of cyclic amine Ph $\beta 3$ adrenergic receptor agonists for treatment of metabolic disorders related to insulin resistance or hyperglycemia)

RN 95093-95-1 CAPLUS

CN 9H-Carbazole, 4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:72036 CAPLUS

DOCUMENT NUMBER: 136:134674

TITLE: Preparation of cyclic amine sulfonamides as beta-3 adrenergic receptor agonists

INVENTOR(S): Sum, Fuk-Wah; Hu, Baihua

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

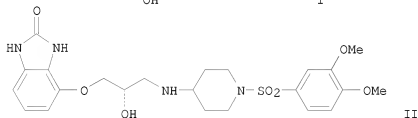
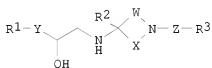
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006221	A2	20020124	WO 2001-US22359	20010716 <--
WO 2002006221	A3	20020613		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

US 20020022605	A1	20020221	US 2001-904158	20010712 <--
US 6498170	B2	20021224		
CA 2416667	A1	20020124	CA 2001-2416667	20010716 <--
BR 2001012582	A	20030520	BR 2001-12582	20010716 <--
EP 1328512	A2	20030723	EP 2001-984233	20010716 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004504296	T	20040212	JP 2002-512127	20010716 <--
US 20030027797	A1	20030206	US 2002-235911	20020905 <--
US 6649603	B2	20031118		
MX 2003PA00450	A	20030606	MX 2003-PA450	20030116 <--
PRIORITY APPLN. INFO.:			US 2000-218764P	P 20000717
			US 2001-904158	A3 20010712
			WO 2001-US22359	W 20010716

OTHER SOURCE(S): MARPAT 136:134674

GI



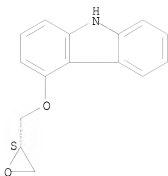
AB The preparation is described for cyclylamine sulfonamides (I) [W = (CH₂)_m; X = (CH₂)_n; Y = OCH₂, SCH₂ or a bond; Z = SO₂, CO or P(O)OR and R₁, R₂, R₃, m, n and R are defined within the document] or a pharmaceutically acceptable salt thereof, which are useful in treating metabolic disorders related to insulin resistance or hyperglycemia. Thus, a sulfonylpiperidinamine derivative (II) was prepared and its selectivity for binding β₃-adrenergic receptors measured (EC₅₀ = 0.08 μM, IA = 1.2/0.02/0.1 for β₃/β₂/β₁).

IT 95093-95-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant for preparation of cyclic amine sulfonamides as beta-3 adrenergic receptor agonist antidiabetic agents)

RN 95093-95-1 CAPLUS

CN 9H-Carbazole, 4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:72025 CAPLUS

DOCUMENT NUMBER: 136:134518

TITLE: Preparation of phenylaminosquarates and -thiadiazole (di)oxides as β 3 adrenergic receptor agonists.

INVENTOR(S): Fobare, William Floyd; Freymuller, Jill

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 77 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002006210	A1	20020124	WO 2001-US22370	20010716 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002025973	A1	20020228	US 2001-904155	20010712 <--
US 6395762	B2	20020528		

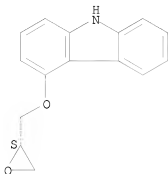
PRIORITY APPLN. INFO.: US 2000-218722P P 20000717

OTHER SOURCE(S): MARPAT 136:134518

AB AXCH(OH)CH2NHCHR1R2CH2C6H4B [A = (substituted) Ph, heterocyclyl, Ph-fused heterocyclyl; B = (substituted) 1,2-dioxo-3,4-diiminocyclobut-3-enylene, 1,1-dioxo-3,4-diimino-1,2,5-thiadiazolylene, 1-oxo-3,4-diimino-1,2,5-thiadiazolylene; X = OCH2, bond; R1, R2 = H, alkyl, cycloalkyl], were prepared Thus, 4-O2NC6H4CH2CH(NH2)Me.HCl was N-BOC protected (93%) followed by hydrogenation in EtOH over Pd/C to give 83% 4-H2NC6H4CH2CH(NHBOC)Me. The latter was stirred with 3,4-diethoxy-3-cyclobutene-1,2-dione in THF to give 88% aminosquarate derivative, which was treated with BuNH2 in EtOH to give 89% diaminosquarate. The latter was N-deprotected with CF3CO2H in CH2Cl2 (77%) followed by stirring with (S)-3-chlorophenyloxirane in DMF at 80° for 24 h to give 42% 3-butylamino-4-[4-[2-(2R)-2-(3-chlorophenyl)-2-hydroxyethylamino]propyl]phenylaminocyclobut-3-ene-1,2-dione. The latter showed β 3-adrenergic receptor binding with EC50 = 0.115 μ M.

IT 95093-95-1
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of phenylaminosquarates and -thiadiazole (di)oxides as β 3
 adrenergic receptor agonists)
 RN 95093-95-1 CAPLUS
 CN 9H-Carbazole, 4-[(2S)-2-oxiranylmethoxy]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:10275 CAPLUS

DOCUMENT NUMBER: 136:90914

TITLE: Preparation of carvedilol and its crystalline hydrate and solvate

INVENTOR(S): Hildesheim, Jean; Finogueev, Sergey; Aronhime, Judith; Dolitzky, Ben-Zion; Ben-Valid, Shoshana; Kor, Ilan

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SOURCE: PCI Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

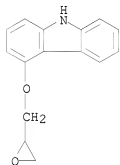
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000216	A1	20020103	WO 2001-US20760	20010628 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2413702	A1	20020103	CA 2001-2413702	20010628 <--
US 20020143045	A1	20021003	US 2001-894798	20010628 <--
US 6699997	B2	20040302		
EP 1299101	A1	20030409	EP 2001-950671	20010628 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
HU 2003001802	A2	20030929	HU 2003-1802	20010628 <--
JP 2004501191	T	20040115	JP 2002-504998	20010628 <--

CN 1733727	A	20060215	CN 2005-10086095	20010628 <--
CN 1245974	C	20060322	CN 2001-814616	20010628 <--
EP 1655285	A1	20060510	EP 2005-21195	20010628 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2002010282	A	20031219	ZA 2002-10282	20021219 <--
MX 2002PA12795	A	20040730	MX 2002-PA12795	20021219 <--
US 20040152757	A1	20040805	US 2004-758025	20040116 <--
US 7056942	B2	20060606		
US 20040225132	A1	20041111	US 2004-758026	20040116 <--
US 7126008	B2	20061024		
US 20060030614	A1	20060209	US 2005-217643	20050831
AU 2007200344	A1	20070215	AU 2007-200344	20070125
KR 2008015888	A	20080220	KR 2007-730634	20071227
US 20080194838	A1	20080814	US 2008-148070	20080415
KR 2008064908	A	20080709	KR 2008-714587	20080616
PRIORITY APPLN. INFO.:			US 2000-214356P	P 20000628
			US 2000-246358P	P 20001107
			AU 2001-271639	A3 20010628
			CN 2001-814616	A3 20010628
			EP 2001-950671	A3 20010628
			US 2001-894798	A3 20010628
			WO 2001-US20760	W 20010628
			KR 2002-717817	A3 20021227
			US 2004-758025	A3 20040116
			US 2005-217643	A3 20050831
AB	This invention relates to an improved process of preparing carvedilol, as well as a new crystalline hydrate and solvate and forms of carvedilol, processes for the manufacture thereof, and pharmaceutical compns. thereof. Carvedilol was prepared by the reaction of 2-(2-methoxyphenoxy)ethylamine and 4-(oxiran-2-ylmethoxy)-9H-carbazole. Crystalline carvedilol form II was prepared by crystallizing carvedilol from isoamyl alc.			
IT	51997-51-4 RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of carvedilol and its crystalline hydrate and solvate)			
RN	51997-51-4 CAPLUS			
CN	9H-Carbazole, 4-(2-oxiran-2-ylmethoxy)- (CA INDEX NAME)			



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d his

(FILE 'HOME' ENTERED AT 06:41:04 ON 13 JAN 2009)

FILE 'REGISTRY' ENTERED AT 06:41:41 ON 13 JAN 2009
E EPICHLORHYDRIN/CN

L1 FILE 'REGISTRY' ENTERED AT 06:53:21 ON 13 JAN 2009
L2 STRUCTURE UPLOADED
18 S L1 SSS FULL

L3 FILE 'CAPLUS' ENTERED AT 06:54:24 ON 13 JAN 2009
L4 55 S L2
39 S L3 AND (AY<2005 OR PY<2005)

FILE 'STNGUIDE' ENTERED AT 06:56:46 ON 13 JAN 2009

FILE 'CAPLUS' ENTERED AT 07:31:12 ON 13 JAN 2009

FILE 'STNGUIDE' ENTERED AT 07:31:15 ON 13 JAN 2009

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:u
'U' IS NOT VALID HERE
For an explanation, enter "HELP LOGOFF".

=> u
U IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> y
Y IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
"HELP COMMANDS" at an arrow prompt (=>).

=> log
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:y

(FILE 'HOME' ENTERED AT 06:41:04 ON 13 JAN 2009)

FILE 'REGISTRY' ENTERED AT 06:41:41 ON 13 JAN 2009
E EPICHLORHYDRIN/CN

L1 FILE 'REGISTRY' ENTERED AT 06:53:21 ON 13 JAN 2009
STRUCTURE UPLOADED
D
L2 18 SEA SSS FUL L1

L3 FILE 'CAPLUS' ENTERED AT 06:54:24 ON 13 JAN 2009
55 SEA SPE=ON ABB=ON PLU=ON L2
L4 39 SEA SPE=ON ABB=ON PLU=ON L3 AND (AY<2005 OR PY<2005)
D 1-10 IBIB ABS HITSTR

FILE 'STNGUIDE' ENTERED AT 06:56:46 ON 13 JAN 2009

FILE 'CAPLUS' ENTERED AT 07:31:12 ON 13 JAN 2009
D 11-20 IBIB ABS HITSTR

FILE 'STNGUIDE' ENTERED AT 07:31:15 ON 13 JAN 2009
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION

FULL ESTIMATED COST	2.24	321.71
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-16.40
STN INTERNATIONAL LOGOFF AT 07:50:22 ON 13 JAN 2009		